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=> d his

     (FILE 'HOME' ENTERED AT 09:31:47 ON 08 SEP 2008)

     FILE 'REGISTRY' ENTERED AT 09:31:57 ON 08 SEP 2008
L1             STRUCTURE UPLOADED
L2              21 S L1
L3             449 S L1 SSS FUL
L4             387 S L3 AND CAPLUS/LC
L5              30 S L3 AND 5-7/SZ
L6              23 S L5 AND CAPLUS/LC
L7               7 S L5 NOT L6
L8              62 S L3 NOT L4

     FILE 'CAPLUS' ENTERED AT 09:35:26 ON 08 SEP 2008
L9             215 S L3
L10              1 S L5
L11            ANALYZE L9 1- RN HIT :     387 TERMS

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L13              1 S 654671-78-0/RN
L14            447 S L3 NOT (L12 OR L13)

     FILE 'CAPLUS' ENTERED AT 09:38:27 ON 08 SEP 2008
L15             39 S L14
L16            201 S L12 OR L13
L17             25 S L15 AND L16
L18             39 S L15 OR L17
L19             26 S L18 NOT (2008/SO OR 2007/SO OR 2006/SO OR 2005/SO)

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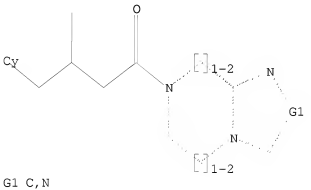


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Structure attributes must be viewed using STN Express query preparation.


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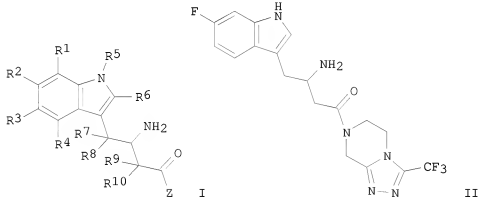
L19 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:447431 CAPLUS
DOCUMENT NUMBER: 148:426742
TITLE: Preparation of indole derivatives for use as DPP-IV inhibitors
INVENTOR(S): Maddaford, Adrian; Glen, Rebecca; Leese, David Paul; Hart, Terance William
PATENT ASSIGNEE(S): Peakdale Molecular Limited, UK
SOURCE: PCT Int. Appl., 48pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008040974	A1	20080410	WO 2007-GB3758	20071004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: GB 2006-19906 A 20061007
GB 2006-24719 A 20061212
OTHER SOURCE(S): MARPAT 148:426742
GI

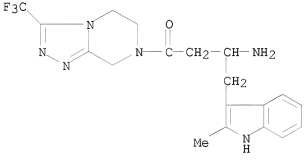


AB Title compds. I [R1-4 independently = H, halo, CF3, CN, NO2, (un)substituted hydrocarbyl, etc.; R5 = H, or (un)substituted hydrocarbyl, etc.; R6 = H, (un)substituted hydrocarbyl or (alkyl)-heterocyclyl; R7-10 independently = H, halo, CF3, CN, NO2, etc.; or R7 or R8 together with R9

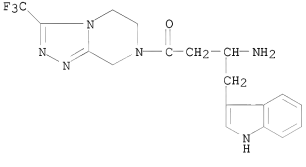
or R10 form a (un)substituted carbocycle or a heterocycle; Z = (un)substituted hydrocarbyl or (alkyl)-heterocyclyl], and their pharmaceutically acceptable salts, are prepared and disclosed as dipeptidase peptidase IV (DPP-IV) inhibitors. Thus, e.g., II was prepared in a multi-step synthesis from Et malonyl chloride and 3-(trifluoromethyl)-5,6,7,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrazine hydrochloride. The exemplar compds. of the invention were evaluated for their DPP-IV inhibitory activity in an enzyme assay, e.g., II showed 56% inhibition at 150 nM concentration As inhibitors of DPP-IV, I should prove useful for treating
DPP-IV-mediated diseases such as type II diabetes, arthritis, obesity and osteoporosis, etc.

IT 1017683-24-7P 1017683-25-8P 1017683-26-9P
1017683-27-0P 1017683-28-1P 1017683-29-2P
1017683-30-5P 1017683-31-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. as dipeptidyl peptidase IV inhibitors useful in treatment and prevention of DPP-IV-mediated diseases)

RN 1017683-24-7 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)

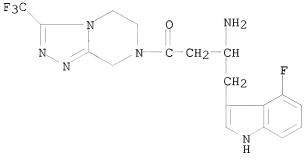


RN 1017683-25-8 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(1H-indol-3-yl)- (CA INDEX NAME)

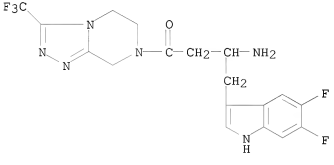


RN 1017683-26-9 CAPLUS

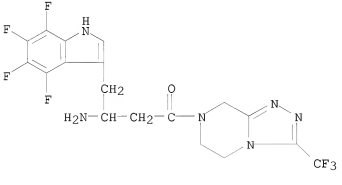
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(4-fluoro-1H-indol-3-yl)- (CA INDEX NAME)



RN 1017683-27-0 CAPLUS
CN 1-Butanone, 3-amino-4-(5,6-difluoro-1H-indol-3-yl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]- (CA INDEX NAME)

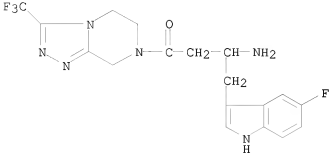


RN 1017683-28-1 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)- (CA INDEX NAME)

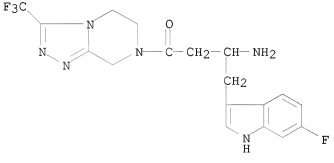


RN 1017683-29-2 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-

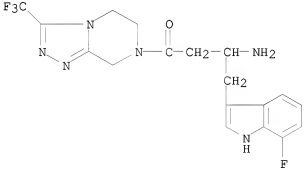
a]pyrazin-7(8H)-yl]-4-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)



RN 1017683-30-5 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(6-fluoro-1H-indol-3-yl)- (CA INDEX NAME)



RN 1017683-31-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(7-fluoro-1H-indol-3-yl)- (CA INDEX NAME)

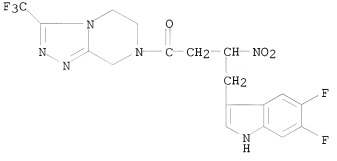


IT 1017683-42-9P 1017683-43-0P 1017683-45-2P
1017683-49-6P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of indole derivs. as dipeptidyl peptidase IV inhibitors useful in treatment and prevention of DPP-IV-mediated diseases)

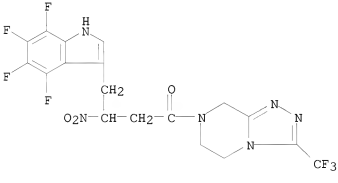
RN 1017683-42-9 CAPLUS

CN 1-Butanone, 4-(5,6-difluoro-1H-indol-3-yl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-nitro- (CA INDEX NAME)



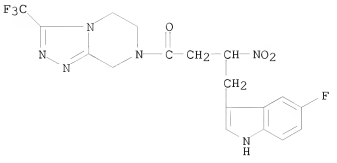
RN 1017683-43-0 CAPLUS

CN 1-Butanone, 1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-nitro-4-(4,5,6,7-tetrafluoro-1H-indol-3-yl)- (CA INDEX NAME)

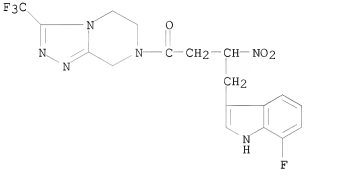


RN 1017683-45-2 CAPLUS

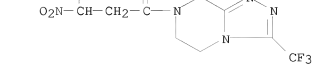
CN 1-Butanone, 1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(5-fluoro-1H-indol-3-yl)-3-nitro- (CA INDEX NAME)



RN 1017683-49-6 CAPLUS
CN 1-Butanone, 1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(7-fluoro-1H-indol-3-yl)-3-nitro- (CA INDEX NAME)



IT 1017683-40-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indole derivs. as dipeptidyl peptidase IV inhibitors useful in treatment and prevention of DPP-IV-mediated diseases)
RN 1017683-40-7 CAPLUS
CN 1-Butanone, 1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(4-fluoro-1H-indol-3-yl)-3-nitro- (CA INDEX NAME)



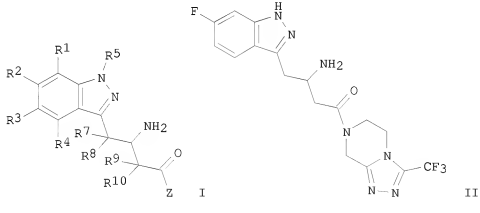
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 2 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:444614 CAPLUS
DOCUMENT NUMBER: 148:426886
TITLE: Preparation of indazole derivatives for use as DPP-IV inhibitors
INVENTOR(S): Maddaford, Adrian; Glen, Rebecca; Leese, David Paul; Hart, Terance William
PATENT ASSIGNEE(S): Peakdale Molecular Limited, UK
SOURCE: PCT Int. Appl., 52pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008040995	A1	20080410	WO 2007-GB3788	20071004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: GB 2006-19906 A 20061007
GB 2006-24719 A 20061212
OTHER SOURCE(S): MARPAT 148:426886
GI



I II

AB Title compds. I [R1-4 independently = H, halo, CF3, CN, NO2, (un)substituted hydrocarbyl, etc.; R5 = H, or (un)substituted hydrocarbyl,

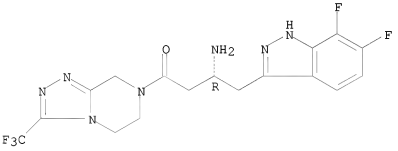
etc.; R7-10 independently = H, halo, CF3, CN, NO2, etc.; or R7 or R8 together with R9 or R10 form a (un)substituted carbocycle or a heterocycle; Z = (un)substituted hydrocarbyl or (alkyl)-heterocyclyl], and their pharmaceutically acceptable salts, are prepared and disclosed as dipeptidase peptidase IV (DPP-IV) inhibitors. Thus, e.g., II was prepared in a multi-step synthesis from 1-(2,4-difluorophenyl)ethanone. The exemplar compds. of the invention were evaluated for their DPP-IV inhibitory activity in an enzyme assay, e.g., II showed 59% inhibition at 150 nM concentration As inhibitors of DPP-IV, I should prove useful for treating
DPP-IV-mediated diseases such as type II diabetes, arthritis, obesity and osteoporosis, etc.

IT 1017682-65-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indazole derivs. as dipeptidyl peptidase IV inhibitors useful in treatment and prevention of DPP-IV-mediated diseases)

RN 1017682-65-3 CAPLUS

CN 1-Butanone, 3-amino-4-(6,7-difluoro-1H-indazol-3-yl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

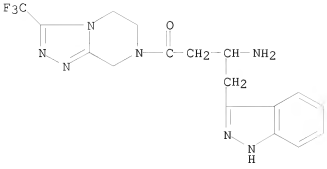
Absolute stereochemistry.



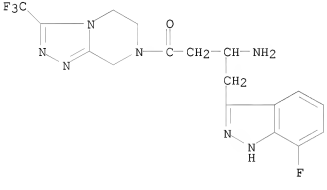
IT 1017682-62-0P 1017682-63-1P 1017682-64-2P
1017682-66-4P 1017682-67-5P 1017682-68-6P
1017682-69-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indazole derivs. as dipeptidyl peptidase IV inhibitors useful in treatment and prevention of DPP-IV-mediated diseases)

RN 1017682-62-0 CAPLUS

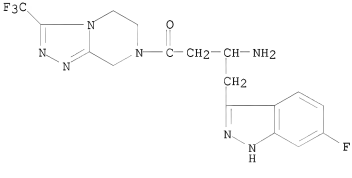
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(1H-indazol-3-yl)- (CA INDEX NAME)



RN 1017682-63-1 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(7-fluoro-1H-indazol-3-yl)- (CA INDEX NAME)



RN 1017682-64-2 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(6-fluoro-1H-indazol-3-yl)- (CA INDEX NAME)



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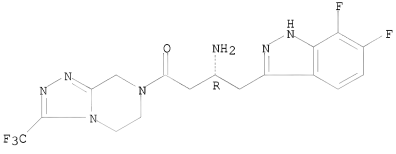
CN 1-Butanone, 3-amino-4-(6,7-difluoro-1H-indazol-3-yl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)-,

phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 1017682-65-3
CMF C17 H16 F5 N7 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

RN 1017682-67-5 CAPLUS
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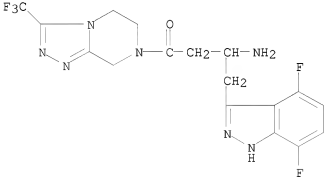
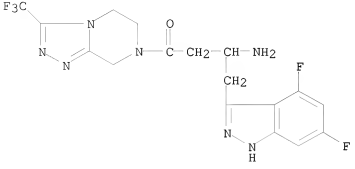
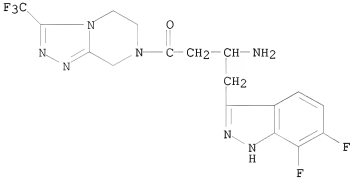


RN 1017682-68-6 CAPLUS
CN 1-Butanone, 3-amino-4-(4,6-difluoro-1H-indazol-3-yl)-1-[5,6-dihydro-3-

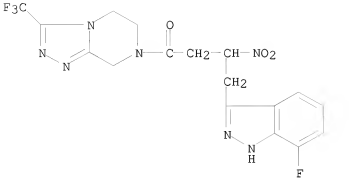
(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]- (CA INDEX NAME)



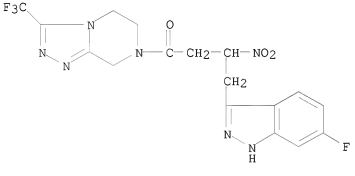
RN 1017682-69-7 CAPLUS
CN 1-Butanone, 3-amino-4-(6,7-difluoro-1H-indazol-3-yl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]- (CA INDEX NAME)



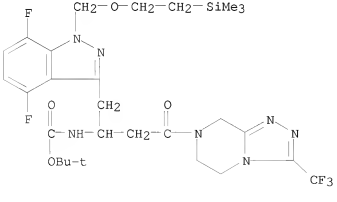
IT 1017682-76-6P 1017682-78-8P 1017683-08-7P
1017683-21-4P
RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indazole derivs. as dipeptidyl peptidase IV inhibitors useful in treatment and prevention of DPP-IV-mediated diseases)
RN 1017682-76-6 CAPLUS
CN 1-Butanone, 1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(7-fluoro-1H-indazol-3-yl)-3-nitro- (CA INDEX NAME)



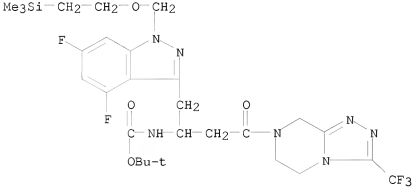
RN 1017682-78-8 CAPLUS
CN 1-Butanone, 1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(6-fluoro-1H-indazol-3-yl)-3-nitro- (CA INDEX NAME)



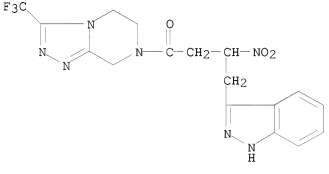
RN 1017683-08-7 CAPLUS
CN Carbamic acid, N-[1-[[4,7-difluoro-1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-indazol-3-yl]methyl]-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 1017683-21-4 CAPLUS
CN Carbamic acid, N-[1-[[4,6-difluoro-1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-indazol-3-yl]methyl]-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

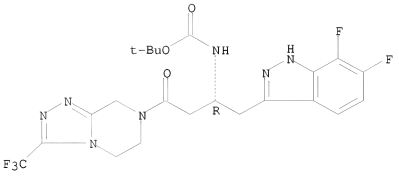


IT 1017682-72-2P 1017682-82-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of indazole derivs. as dipeptidyl peptidase IV inhibitors useful in treatment and prevention of DPP-IV-mediated diseases)
RN 1017682-72-2 CAPLUS
CN 1-Butanone, 1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(1H-indazol-3-yl)-3-nitro- (CA INDEX NAME)



RN 1017682-82-4 CAPLUS
CN Carbamic acid, N-[(1R)-1-[(6,7-difluoro-1H-indazol-3-yl)methyl]-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 3 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:322138 CAPLUS
DOCUMENT NUMBER: 148:323132
TITLE: Antidiabetic combinations of dipeptidyl peptidase inhibitors with slow-release biguanides
INVENTOR(S): Sesha, Ramesh
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 23pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080064701	A1	20080313	US 2007-789080	20070424

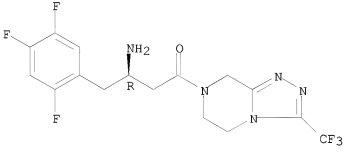
PRIORITY APPLN. INFO.: US 2007-789080 20070424

AB The invention discloses a method of administering an antidiabetic combination comprising a DPP inhibitor and a slow-release biguanide to a mammal in need of thereof. The invention further discloses antidiabetic combination comprising a DPP inhibitor and a slow release biguanide for treating diabetes.

IT 486460-32-6, Sitagliptin 654671-78-0, Januvia
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PKT (Pharmacokinetics); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidiabetic combinations of dipeptidyl peptidase inhibitors with slow-release biguanides)

RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

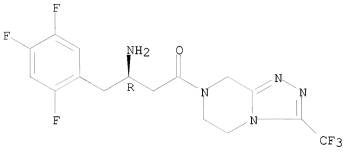


RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



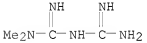
CM 2

CRN 7664-38-2
CMF H3 O4 P

IT 1011232-08-8
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidiabetic combinations of dipeptidyl peptidase inhibitors with slow-release biguanides)
RN 1011232-08-8 CAPLUS
CN Imidodicarbonimidic diamide, N,N-dimethyl-, hydrochloride (1:1), mixt. with (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 1115-70-4
CMF C4 H11 N5 . Cl H



● HCl

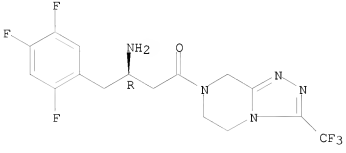
CM 2

CRN 654671-78-0
CMF C16 H15 F6 N5 O . H3 O4 P

CM 3

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 4

CRN 7664-38-2
CMF H3 O4 P

L19 ANSWER 4 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:319554 CAPLUS
DOCUMENT NUMBER: 148:347364
TITLE: Combination treatment for diabetes mellitus
INVENTOR(S): Klein, Thomas; Blaser, Anja; Rudolph, Bettina; Kautz, Ulrich; Selige, Jens; Kromer, Wolfgang
PATENT ASSIGNEE(S): Nycomed GmbH, Germany
SOURCE: PCT Int. Appl., 61pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008028914	A1	20080313	WO 2007-EP59253	20070904

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2006-120305 A 20060907

AB The invention is based on the expectation that the use of the selective PDE4 inhibitor (2R,4aR,10bR)-6-(2,6-dimethoxypyridin-3-yl)-9-ethoxy-8-methoxy-1,2,3,4,4a,10b-hexahydrophenanthridin-2-ol (I) or a pharmaceutical acceptable salt thereof in combination with one or two other active compound(s) or pharmaceutically acceptable salt (s) thereof which are used in the treatment of diabetes mellitus type 2 and/or type 1 leads to beneficial effects in the treatment of diabetes mellitus type 2 and/or type 1 in comparison to the treatment with either the selective I or the above-mentioned active compound(s) alone. Therefore, according to a first aspect of the present invention there is provided a pharmaceutical composition comprising a pharmaceutical formulation including I or a pharmaceutically acceptable salt thereof and one other active compound or a pharmaceutically acceptable salt thereof.

IT 1019200-92-0
RL: PAC (Pharmacological activity); PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment for diabetes mellitus using combination of (dimethoxypyridinyl)ethoxymethoxyhexahydrophenanthridinol and other agents)

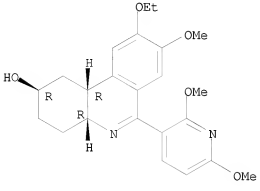
RN 1019200-92-0 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1), mixt. with (2R,4aR,10bR)-6-(2,6-dimethoxy-3-pyridinyl)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-2-phenanthridinol (CA INDEX NAME)

CM 1

CRN 864740-19-2
CMF C23 H28 N2 O5

Absolute stereochemistry.



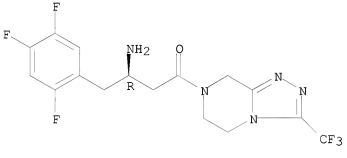
CM 2

CRN 654671-78-0
CMF C16 H15 F6 N5 O . H3 O4 P

CM 3

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.

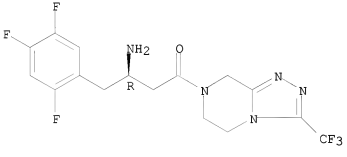


CM 4

CRN 7664-38-2
CMF H3 O4 P

IT 486460-32-6 1011713-89-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment for diabetes mellitus using combination of (dimethoxypyridinyl)ethoxymethoxyhexahydrophenanthridinol and other agents)
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

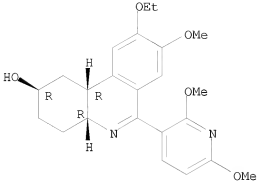


RN 1011713-89-5 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, mixt. with (2R,4aR,10bR)-6-(2,6-dimethoxy-3-pyridinyl)-9-ethoxy-1,2,3,4,4a,10b-hexahydro-8-methoxy-2-phenanthridinol (CA INDEX NAME)

CM 1

CRN 864740-19-2
CMF C23 H28 N2 O5

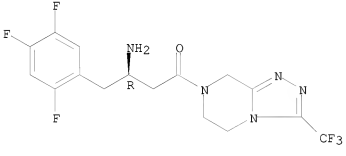
Absolute stereochemistry.



CM 2

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 5 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:10586 CAPLUS
DOCUMENT NUMBER: 148:106026
TITLE: Preparation of crystalline hydrohalide of an organic amine
INVENTOR(S): Wieser, Josef; Lengauer, Hannes; Klingler, Elfriede; Pichler, Arthur; Sturm, Hubert
PATENT ASSIGNEE(S): Sandoz A.-G., Switz.
SOURCE: PCT Int. Appl., 77pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008000418	A2	20080103	WO 2007-EP5596	20070625
WO 2008000418	A3	20080228		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

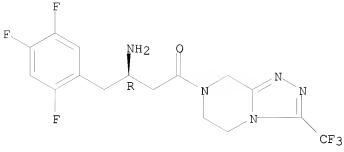
PRIORITY APPLN. INFO.: EP 2006-116134 A 20060627

AB The present invention provides a new method for preparation and crystallization of hydrochlorides, hydrobromides or hydroiodides of pharmaceutical compds. or their intermediates in which the base or its acid addition salt is reacted in a solvent with a Trialkylsilylhalogenide. For example, mycophenolate mofetil base 2 g were dissolved in Et acetate 50 mL at room temperature To this solution acetic acid 0.3 mL and trimethylchlorosilane 0.7 mL were added under stirring. After 2 min at room temperature the crystallization started. The suspension was stirred for 1 h and the precipitate filtered off. The solid was washed with Et acetate and dried under vacuum at room temperature to yield 2.11 g (97.6 %) of mycophenolate mofetil hydrochloride.

IT 486460-32-6, Sitagliptin
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystalline hydrohalide of an organic amine)

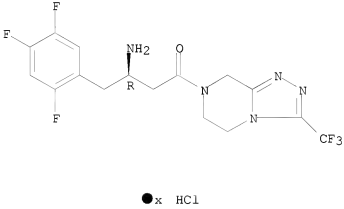
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 1000153-09-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline hydrohalide of an organic amine)
RN 1000153-09-2 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:?), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 6 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1434290 CAPLUS
DOCUMENT NUMBER: 148:331713
TITLE: Method for preparing sitagliptin hydrochloride crystals used in pharmaceutical drug compositions
INVENTOR(S): Kim, Seong Gyu; Yoo, Seo Hong
PATENT ASSIGNEE(S): Yungjin Pharmaceutical Co., Ltd., S. Korea
SOURCE: Repub. Korean Kongkae Taeho Kongbo, 13pp.
CODEN: KRXXA7
DOCUMENT TYPE: Patent
LANGUAGE: Korean
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
KR 2007111099	A	20071121	KR 2006-44005	20060516

PRIORITY APPLN. INFO.: KR 2006-44005 20060516

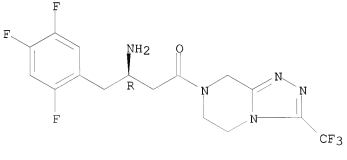
AB This invention discloses a new crystal structure of sitagliptin hydrochloride [i.e., (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone hydrochloride], its preparation method and its application in drug composition The powder X-ray diffraction pattern of the sitagliptin hydrochloride crystals has peaks at 2θ of 13.7, 18.0, 22.6, 25.7 and 27.0 ° ± 0.2 °.

IT 1000153-09-2P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of crystalline sitagliptin hydrochloride for use in pharmaceutical drug compns.)

RN 1000153-09-2 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:?), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



●x HCl

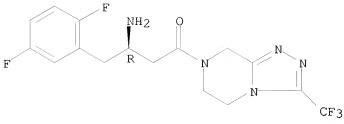
L19 ANSWER 7 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:1275387 CAPLUS
DOCUMENT NUMBER: 147:515050
TITLE: Dipeptidyl peptidase DPP8/9 inhibitors for immunostimulation to improve efficacy of anticancer antibodies or chemotherapeutics against cell proliferation disease or cancer
INVENTOR(S): Jesson, Michael I.; McLean, Paul A.; Miller, Glenn T.; Jones, Barry
PATENT ASSIGNEE(S): Point Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 125pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007127204	A2	20071108	WO 2007-US9947	20070424

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-794371P P 20060424
OTHER SOURCE(S): MARPAT 147:515050
AB The invention relates to methods for immunostimulation involving inhibition of DPP8 and/or 9, DDP4 and fibroblast activation protein (FAP). The DPP8/9, DPP4 and FAP inhibitors are useful for improving efficacy of antitumor agent such as chemotherapeutic agents or antibodies.
IT 486460-31-5
RL: BSU (Biological study, unclassified); MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitors of dipeptidyl peptidase DPP8/9, DPP4 or fibroblast activation protein for immunostimulation to improve efficacy of anticancer antibodies or chemotherapeutics)
RN 486460-31-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.


F
NH2
O
F
R
N
N
N
N
CF3

L19 ANSWER 8 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:762624 CAPLUS
DOCUMENT NUMBER: 147:150836
TITLE: Pharmaceutical compositions of combinations of dipeptidyl peptidase-4 inhibitors with metformin
INVENTOR(S): Kamali, Ashkan; Alani, Laman; Fliszar, Kyle A.; Ghosh, Soumojeet; Tijerina, Monica
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 19pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

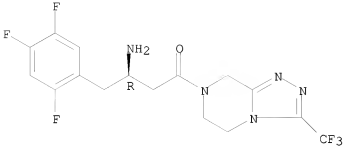
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007078726	A2	20070712	WO 2006-US47380	20061212
WO 2007078726	A3	20080612		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006333151	A1	20070712	AU 2006-333151	20061212
EP 1962827	A2	20080903	EP 2006-839329	20061212
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
PRIORITY APPLN. INFO.:			US 2005-750954P	P 20051216
			WO 2006-US47380	W 20061212

AB Disclosed are pharmaceutical compns. comprising fixed-dose combinations of a dipeptidyl peptidase-4 inhibitor and metformin, methods of preparing such pharmaceutical compns., and methods of treating Type 2 diabetes with such pharmaceutical compns. For example, a coated tablet was prepared by wet granulation from sitagliptin phosphate monohydrate 64.25, metformin hydrochloride 500, polyvinylpyrrolidone 48.2, sodium lauryl sulfate 3.45, microcryst. cellulose (Avicel PH-102), sodium stearyl fumarate 13.8, water q.s., and coating material (Opadry II) 17.2 mg..

IT 486460-32-6, Sitagliptin 654671-77-9 654671-78-0
, Sitagliptin phosphate
RL: PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmaceutical compns. of combinations of dipeptidyl peptidase-4 inhibitors with metformin)

RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

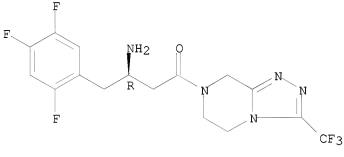


RN 654671-77-9 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate, hydrate (1:1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

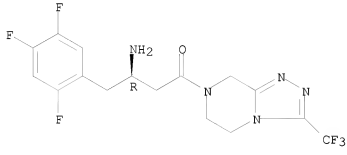
CRN 7664-38-2
CMF H3 O4 P

RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

L19 ANSWER 9 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:383544 CAPLUS
DOCUMENT NUMBER: 146:365787
TITLE: Medical agent containing insulin resistance improving agent
INVENTOR(S): Kanda, Shoichi; Nakashima, Ryutaro
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 24pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007037296	A1	20070405	WO 2006-JP319239	20060928
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1935432	A1	20080625	EP 2006-810697	20060928
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: JP 2005-283466 A 20050929
WO 2006-JP319239 W 20060928

AB The present invention aims to provide a method for treating diabetes which exhibits excellent blood sugar lowering action, while having only few side effects. Specifically disclosed is a pharmaceutical product obtained by combining a DPP-IV inhibitor and an insulin resistance improving agent. For example, tablets were formulated containing rivoglitazone (as insulin resistance improving agent) and MK-0431 (DPP-IV inhibitor).

IT 654671-78-0, MK 0431 930279-24-6 930279-26-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral pharmaceuticals containing DPP-IV inhibitor and insulin resistance improving agent.)

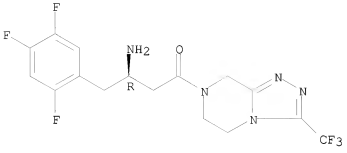
RN 654671-78-0 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



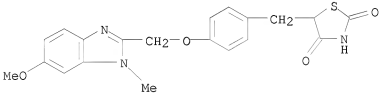
CM 2

CRN 7664-38-2
CMF H3 O4 P

RN 930279-24-6 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[(6-methoxy-1-methyl-1H-benzimidazol-2-yl)methoxy]phenyl]methyl]-, hydrochloride (1:1), mixt. with (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 299176-11-7
CMF C20 H19 N3 O4 S . Cl H



● HCl

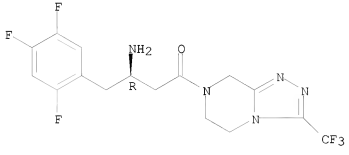
CM 2

CRN 654671-78-0
CMF C16 H15 F6 N5 O . H3 O4 P

CM 3

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



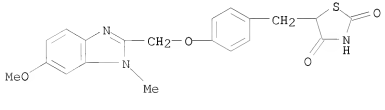
CM 4

CRN 7664-38-2
CMF H3 O4 P

RN 930279-26-8 CAPLUS
CN 2,4-Thiazolidinedione, 5-[[4-[(6-methoxy-1-methyl-1H-benzimidazol-2-yl)methoxy]phenyl]methyl]-, mixt. with (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 185428-18-6
CMF C20 H19 N3 O4 S



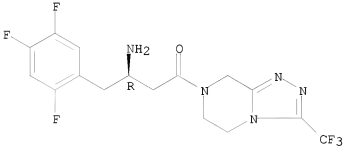
CM 2

CRN 654671-78-0
CMF C16 H15 F6 N5 O . H3 O4 P

CM 3

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 4

CRN 7664-38-2
CMF H3 O4 P

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 10 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:351221 CAPLUS
DOCUMENT NUMBER: 146:365734
TITLE: Dodecylsulfate salt of a dipeptidyl peptidase-IV inhibitor
INVENTOR(S): Ellison, Martha E.; Peresypkin, Andrey V.; Wenslow, Robert M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 25pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007035198	A2	20070329	WO 2006-US28504	20060721
WO 2007035198	A3	20070719		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1909776	A2	20080416	EP 2006-836090	20060721
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: US 2005-702232P P 20050725
WO 2006-US28504 W 20060721

AB The dodecylsulfate salt of (2R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo-[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine (I) is a potent inhibitor of dipeptidyl peptidase-IV and is useful for the treatment of Type 2 diabetes. The invention also relates to a crystalline anhydrate of the dodecylsulfate salt as well as a process for its preparation, pharmaceutical compns. containing this novel
form and methods of use for the treatment of type 2 diabetes, hyperglycemia, insulin resistance, and obesity. I was prepared in a series of steps. Th salt obtained was a crystalline anhydrous substance and characterized by x-ray powder diffraction.

IT 930277-01-3P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dodecylsulfate salt of a dipeptidyl peptidase-IV inhibitor)

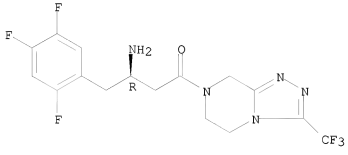
RN 930277-01-3 CAPLUS

CN 1-Dodecanesulfonic acid, compd. with (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.

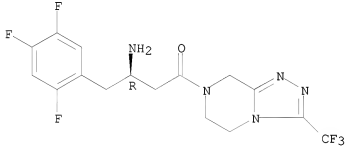


CM 2

CRN 1510-16-3
CMF C12 H26 O3 S

IT 486460-32-6P 654671-78-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dodecylsulfate salt of a dipeptidyl peptidase-IV inhibitor)
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

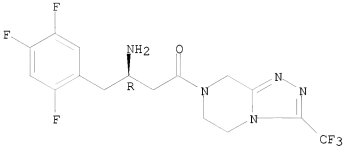


RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

L19 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:227665 CAPLUS
DOCUMENT NUMBER: 146:244370
TITLE: Drug containing FBPase inhibitor and DPP-IV inhibitor
INVENTOR(S): Okuno, Akira; Yoshida, Taishi
PATENT ASSIGNEE(S): Sankyo Company, Limited, Japan
SOURCE: PCT Int. Appl., 21pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007023754	A1	20070301	WO 2006-JP316292	20060821

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2005-239310 A 20050822
OTHER SOURCE(S): MARPAT 146:244370

AB It is intended to provide a remedy for diabetes which exerts little side effects even in prolonged drug administration and is efficacious for a large number of diabetic patients. Disclosed is a drug comprising a combination of an fructose 1,6-biphosphatase (FBPase) inhibitor with a dipeptidyl peptidase IV (DPP-IV) inhibitor. Thus, the effect of combination of 2-amino-5-isobutyl-4-[2-[5-[N,N'-bis((S)-1-ethoxycarbonyl)ethyl]phosphonamide]furanyl]thiazole (I) and MK-0431 on glucose tolerance in Zucker Diabetic Fatty (ZDF) rats was examined Also, a capsule composition containing I 50, MK-0431 25, lactose 75, corn starch 58, and magnesium stearate 2 mg was formulated.

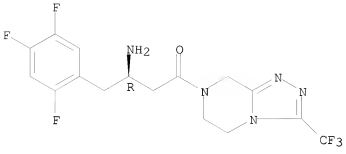
IT 654671-78-0, MK-0431 925668-18-4
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidiabetic drugs comprising combination of FBPase inhibitors and DPP-IV inhibitors)

RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

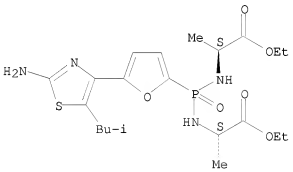
CRN 7664-38-2
CMF H3 O4 P

RN 925668-18-4 CAPLUS
CN L-Alanine, N,N'-[[5-[2-amino-5-(2-methylpropyl)-4-thiazolyl]-2-furanyl]phosphinylidene]bis-, 1,1'-diethyl ester, mixt. with (3R)-3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-1-butanone phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 280782-97-0
CMF C21 H33 N4 O6 P S

Absolute stereochemistry.



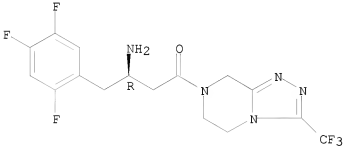
CM 2

CRN 654671-78-0
CMF C16 H15 F6 N5 O . H3 O4 P

CM 3

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 4

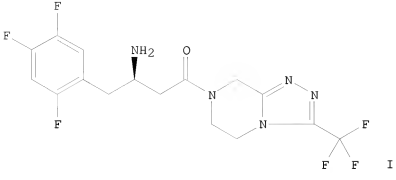
CRN 7664-38-2
CMF H3 O4 P

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

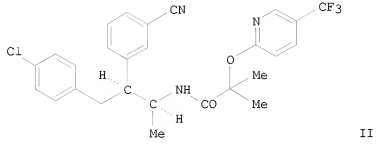
L19 ANSWER 12 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1177439 CAPLUS
DOCUMENT NUMBER: 145:465736
TITLE: Combination of dipeptidyl peptidase-IV inhibitor and a cannabinoid CB1 receptor antagonist for the treatment of diabetes and obesity
INVENTOR(S): Amatruda, John M.; Fong, Tung M.; Moller, David E.; Thornberry, Nancy A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 54pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006119260	A2	20061109	WO 2006-US16754	20060428
WO 2006119260	A3	20080228		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006242219	A1	20061109	AU 2006-242219	20060428
CA 2606188	A1	20061109	CA 2006-2606188	20060428
EP 1879582	A2	20080123	EP 2006-752064	20060428
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007CN04504	A	20080125	IN 2007-CN4504	20071011
PRIORITY APPLN. INFO.:			US 2005-676783P	P 20050502
			WO 2006-US16754	W 20060428

GI



I



II

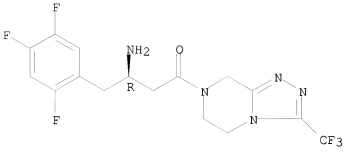
AB The present invention relates to pharmaceutical compns. comprising a combination of a particular dipeptidyl peptidase-IV (DPP-IV) inhibitor (e.g. (2R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine dihydrogen phosphate monohydrate; free base shown as I) and a particular cannabinoid CB1 receptor antagonist/inverse agonist (e.g. N-[(1S,2S)-3-(4-chlorophenyl)-2-(3-cyanophenyl)-1-methylpropyl]-2-methyl-2-[[5-(trifluoromethyl)pyridin-2-yl]oxy]propanamide; shown as II), kits containing such combinations and methods of using such compns. for the treatment of diabetes, diabetes associated with obesity, diabetes-related disorders, obesity, and obesity-related disorders (no data). Although the methods of preparation are not claimed, prepns. and/or characterization data for the above examples are included.

IT 486460-32-6P, (2R)-4-Oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(candidate codrug; combination of dipeptidyl peptidase-IV inhibitor and cannabinoid CB1 receptor antagonist for treatment of diabetes and obesity)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 654671-77-9P, (2R)-4-Oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine dihydrogen phosphate monohydrate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(candidate codrug; combination of dipeptidyl peptidase-IV inhibitor and cannabinoid CB1 receptor antagonist for treatment of diabetes and obesity)

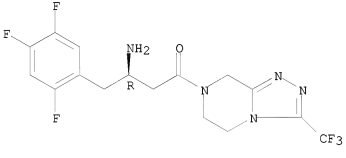
RN 654671-77-9 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate, hydrate (1:1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

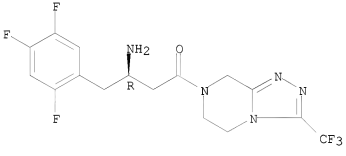
CRN 7664-38-2
CMF H3 O4 P

IT 654671-78-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(candidate codrug; combination of dipeptidyl peptidase-IV inhibitor and cannabinoid CB1 receptor antagonist for treatment of diabetes and obesity)
RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

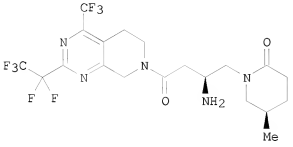
CRN 7664-38-2
CMF H3 O4 P

L19 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1031554 CAPLUS
DOCUMENT NUMBER: 145:397795
TITLE: Preparation of aminobutanoic acid amide derivatives as dipeptidyl peptidase IV (DPPIV) inhibitors
INVENTOR(S): Lee, Chang-Seok; Koh, Jong Sung; Koo, Ki Dong; Kim, Geun Tae; Kim, Kyoung-Hee; Hong, Sang Yong; Kim, Sungsub; Kim, Min-Jung; Yim, Hyeon Joo; Lim, Dongchul; Kim, Hye Jin; Han, Hee Oon; Bu, Seong Cheol; Kwon, Oh Hwan; Kim, Sung Ho; Hur, Gwong-Cheung; Kim, Ji Young; Yeom, Zi-Ho; Yeo, Dong-Jun
PATENT ASSIGNEE(S): Lg Life Sciences, Ltd., S. Korea
SOURCE: PCT Int. Appl., 197pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006104356	A1	20061005	WO 2006-KR1169	20060330
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006229520	A1	20061005	AU 2006-229520	20060330
CA 2602248	A1	20061005	CA 2006-2602248	20060330
KR 2006105609	A	20061011	KR 2006-29138	20060330
KR 776623	B1	20071115		
EP 1863812	A1	20071212	EP 2006-732744	20060330
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
JP 2008534581	T	20080828	JP 2008-503954	20060330
KR 2007094714	A	20070921	KR 2007-86444	20070828
KR 830902	B1	20080522		
KR 2007098774	A	20071005	KR 2007-86486	20070828
KR 794184	B1	20080111		
IN 2007DN07116	A	20071012	IN 2007-DN7116	20070914
CN 101151265	A	20080326	CN 2006-80009935	20070926
MX 200712175	A	20071121	MX 2007-12175	20070928
US 20080188471	A1	20080807	US 2007-910370	20071001

PRIORITY APPLN. INFO.:		
KR 2005-27756	A	20050401
KR 2005-53761	A	20050622
KR 2005-85980	A	20050915
KR 2005-122361	A	20051213
KR 2006-29138	A3	20060330
WO 2006-KR1169	W	20060330

OTHER SOURCE(S): MARPAT 145:397795
GI



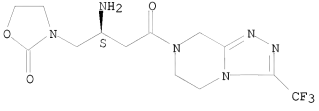
AB The invention relates to aminobutanoic acid amide-based compds. of formula ACOCH2CH(NH2)CH2B [A = 3,4-dihydro-1H-isoquinolin-2-yl, (un)substituted 5,8-dihydropyrido[3,4-d]pyrimidin-7(6H)-yl,5,6-dihydro-8H-[1,2,4]triazolo[4,3-a]pyrazin-7-yl, 5,8-dihydropyrido[3,4-d]pyrimidin-7(6H)-yl,4,5-dihydro-7H-isoxazolo[3,4-c]pyridin-6-yl, etc.; B = (un)substituted 2-oxopiperidino, 2-oxomorpholino, 2-oxothiomorpholino, 2-oxopyrrolidin-1-yl, 2-oxo-2,5-dihydro-1H-pyrrol-1-yl, etc.] (e.g., I) which have good inhibitory activity against dipeptidyl peptidase IV (DPPIV) and can be used in formulations to treat or prevent DPPIV related diseases, e.g., diabetes mellitus and obesity. Thus, I was prepared by a multistep procedure starting from (3S)-[(tert-Butoxycarbonyl)amino]-4-hydroxybutanoic acid tert-Bu ester and showed IC50 = 7 nM for inhibition of DPPIV.

IT 911634-81-6P 911634-85-0P 911634-91-8P
911634-93-0P 911634-95-2P 911634-96-3P
911634-98-5P 911635-00-2P 911635-03-5P
911635-05-7P 911635-07-9P 911635-09-1P,
1-[(2S)-Amino-4-oxo-4-(3-trifluoromethyl-5,6-dihydro-8H-[1,2,4]triazolo[4,3-a]pyrazin-7-yl)butyl]-(5R)-methylpiperidin-2-one
911635-11-5P 911635-13-7P 911635-15-9P
911635-17-1P 911637-07-5P, (6R)-4-[(2S)-2-Amino-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]butyl]-6-methylmorpholin-3-one 911637-09-7P,
(6S)-4-[(2S)-2-Amino-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]butyl]-6-methylmorpholin-3-one
911637-11-1P, (5S)-1-[(2S)-2-Amino-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]butyl]-5-methylpiperidin-2-one 911637-31-5P 911637-34-8P,
(6S)-4-[(2S)-2-Amino-4-oxo-4-[3-(pentafluoroethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]butyl]-6-methylmorpholin-3-one
911637-42-8P 911637-97-3P 911637-98-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aminobutanoic acid amide derivs. as dipeptidyl peptidase IV inhibitors for treating diabetes mellitus and obesity)

RN 911634-81-6 CAPLUS

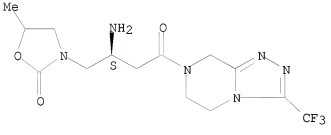
CN 2-Oxazolidinone, 3-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]- (CA INDEX NAME)

Absolute stereochemistry.



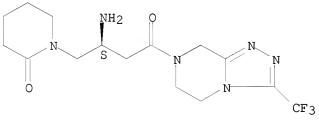
RN 911634-85-0 CAPLUS
CN 2-Oxazolidinone, 3-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-5-methyl- (CA INDEX NAME)

Absolute stereochemistry.



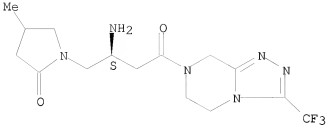
RN 911634-91-8 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]- (CA INDEX NAME)

Absolute stereochemistry.



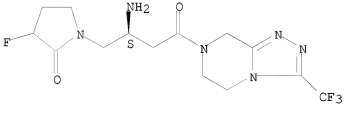
RN 911634-93-0 CAPLUS
CN 2-Pyrrolidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



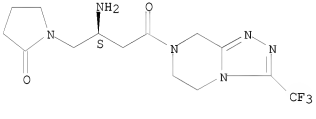
RN 911634-95-2 CAPLUS
CN 2-Pyrrolidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



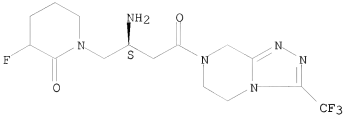
RN 911634-96-3 CAPLUS
CN 2-Pyrrolidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]- (CA INDEX NAME)

Absolute stereochemistry.



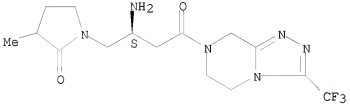
RN 911634-98-5 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry.



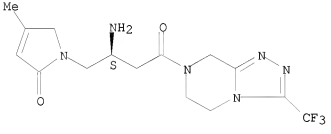
RN 911635-00-2 CAPLUS
CN 2-Pyrrolidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-3-methyl- (CA INDEX NAME)

Absolute stereochemistry.



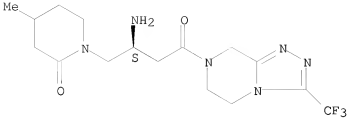
RN 911635-03-5 CAPLUS
CN 2H-Pyrrol-2-one, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-1,5-dihydro-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



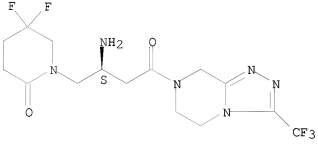
RN 911635-05-7 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-4-methyl- (CA INDEX NAME)

Absolute stereochemistry.



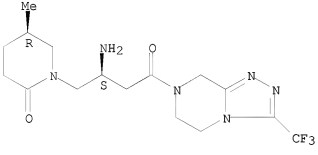
RN 911635-07-9 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-5,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



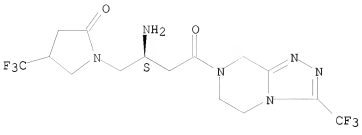
RN 911635-09-1 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-5-methyl-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



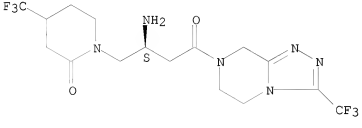
RN 911635-11-5 CAPLUS
CN 2-Pyrrolidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-4-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



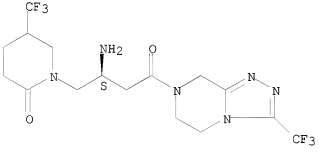
RN 911635-13-7 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-4-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



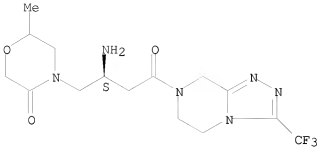
RN 911635-15-9 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-5-(trifluoromethyl)- (CA INDEX NAME)

Absolute stereochemistry.



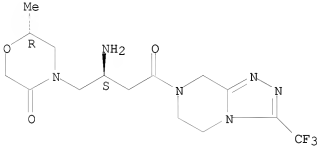
RN 911635-17-1 CAPLUS
CN 3-Morpholinone, 4-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-6-methyl- (CA INDEX NAME)

Absolute stereochemistry.



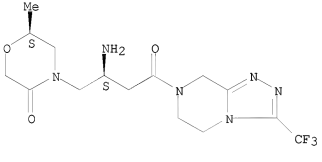
RN 911637-07-5 CAPLUS
CN 3-Morpholinone, 4-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-6-methyl-, (6R)- (CA INDEX NAME)

Absolute stereochemistry.



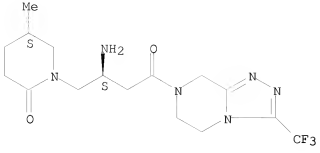
RN 911637-09-7 CAPLUS
CN 3-Morpholinone, 4-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-6-methyl-, (6S)- (CA INDEX NAME)

Absolute stereochemistry.



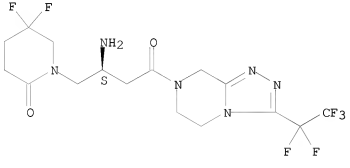
RN 911637-11-1 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-5-methyl-, (5S)- (CA INDEX NAME)

Absolute stereochemistry.



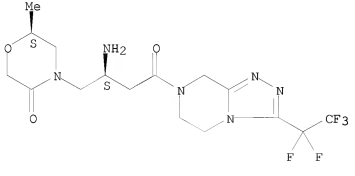
RN 911637-31-5 CAPLUS
CN 2-Piperidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(1,1,2,2,2-pentafluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-5,5-difluoro- (CA INDEX NAME)

Absolute stereochemistry.



RN 911637-34-8 CAPLUS
CN 3-Morpholinone, 4-[(2S)-2-amino-4-[5,6-dihydro-3-(1,1,2,2,2-pentafluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-6-methyl-, (6S)- (CA INDEX NAME)

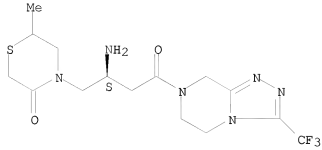
Absolute stereochemistry.



RN 911637-42-8 CAPLUS
CN 3-Thiomorpholinone, 4-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-6-methyl- (CA INDEX

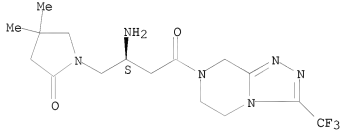
NAME)

Absolute stereochemistry.



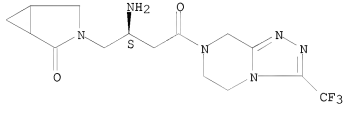
RN 911637-97-3 CAPLUS
CN 2-Pyrrolidinone, 1-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]-4,4-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



RN 911637-98-4 CAPLUS
CN 3-Azabicyclo[3.1.0]hexan-2-one, 3-[(2S)-2-amino-4-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-oxobutyl]- (CA INDEX NAME)

Absolute stereochemistry.

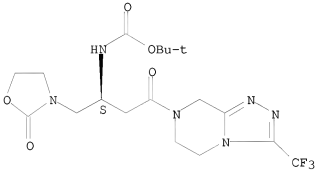


IT 911634-80-5P 911634-84-9P 911634-90-7P
911634-92-9P 911634-94-1P 911634-97-4P
911634-99-6P 911635-01-3P 911635-04-6P
911635-06-8P 911635-08-0P 911635-10-4P
911635-12-6P 911635-14-8P 911635-16-0P
911637-06-4P, tert-Butyl [(1S)-1-[((2R)-2-methyl-5-oxomorpholin-4-

yl)methyl]-3-oxo-3-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]propyl]carbamate 911637-08-6P, tert-Butyl [(1S)-1-[((2S)-2-methyl-5-oxomorpholin-4-yl)methyl]-3-oxo-3-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]propyl]carbamate 911637-10-0P, tert-Butyl [(1S)-1-[((5S)-5-methyl-2-oxopiperidin-1-yl)methyl]-3-oxo-3-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]propyl]carbamate 911637-30-4P, tert-Butyl [(1S)-1-[(5,5-difluoro-2-oxopiperidin-1-yl)methyl]-3-oxo-3-[3-(pentafluoroethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]propyl]carbamate 911637-32-6P, tert-Butyl [(1S)-1-[((2S)-2-methyl-5-oxomorpholin-4-yl)methyl]-3-oxo-3-[3-(pentafluoroethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]propyl]carbamate 911637-41-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aminobutanoic acid amide derivs. as dipeptidyl peptidase IV inhibitors for treating diabetes mellitus and obesity)

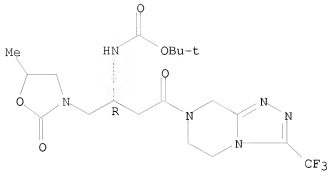
RN 911634-80-5 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2-oxo-3-oxazolidinyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



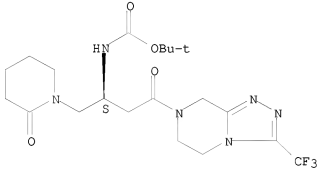
RN 911634-84-9 CAPLUS
CN Carbamic acid, [(1R)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(5-methyl-2-oxo-3-oxazolidinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



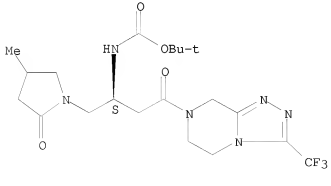
RN 911634-90-7 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2-oxo-1-piperidinyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



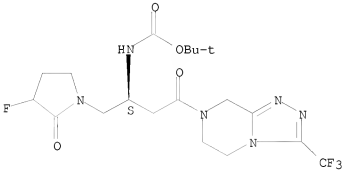
RN 911634-92-9 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(4-methyl-2-oxo-1-pyrrolidinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



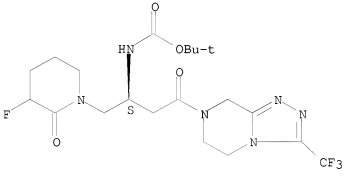
RN 911634-94-1 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(3-fluoro-2-oxo-1-pyrrolidinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



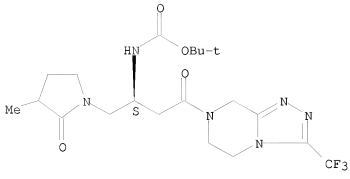
RN 911634-97-4 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(3-fluoro-2-oxo-1-piperidinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



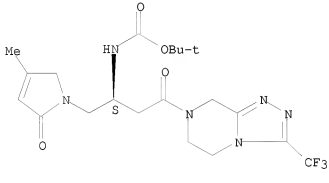
RN 911634-99-6 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(3-methyl-2-oxo-1-pyrrolidinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



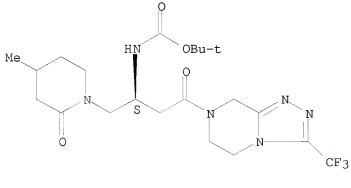
RN 911635-01-3 CAPLUS
CN Carbamic acid, [(1S)-1-[(2,5-dihydro-4-methyl-2-oxo-1H-pyrrol-1-yl)methyl]-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



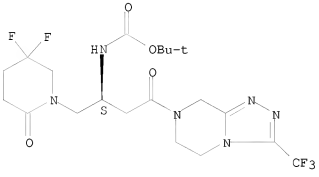
RN 911635-04-6 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(4-methyl-2-oxo-1-piperidinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



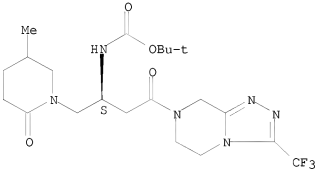
RN 911635-06-8 CAPLUS
CN Carbamic acid, [(1S)-1-[(5,5-difluoro-2-oxo-1-piperidinyl)methyl]-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



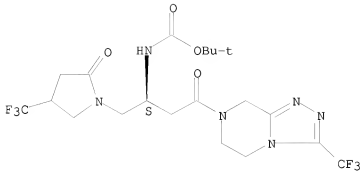
RN 911635-08-0 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(5-methyl-2-oxo-1-piperidinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



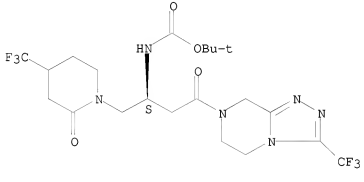
RN 911635-10-4 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[[2-oxo-4-(trifluoromethyl)-1-pyrrolidinyl]methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



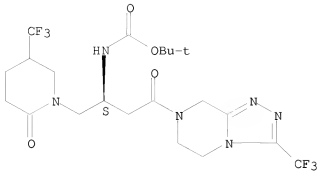
RN 911635-12-6 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[[2-oxo-4-(trifluoromethyl)-1-piperidinyl]methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



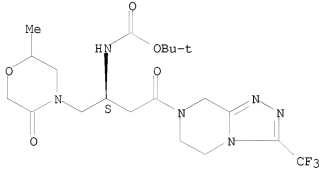
RN 911635-14-8 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[[2-oxo-5-(trifluoromethyl)-1-piperidinyl]methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



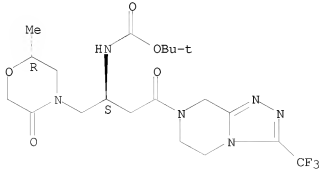
RN 911635-16-0 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(2-methyl-5-oxo-4-morpholinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



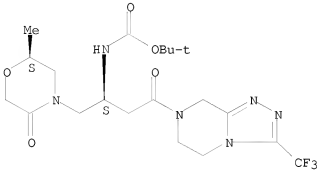
RN 911637-06-4 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[[(2R)-2-methyl-5-oxo-4-morpholinyl]methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



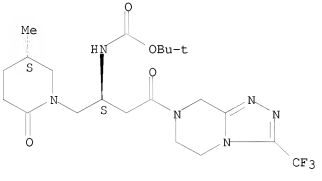
RN 911637-08-6 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[[(2S)-2-methyl-5-oxo-4-morpholinyl]methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



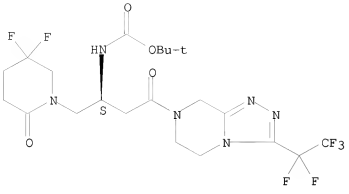
RN 911637-10-0 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[[(5S)-5-methyl-2-oxo-1-piperidinyl]methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



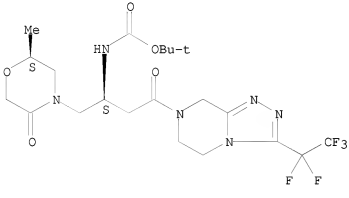
RN 911637-30-4 CAPLUS
CN Carbamic acid, [(1S)-1-[(5,5-difluoro-2-oxo-1-piperidinyl)methyl]-3-[5,6-dihydro-3-(pentafluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



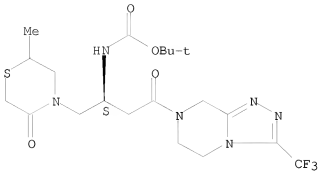
RN 911637-32-6 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(pentafluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[[(2S)-2-methyl-5-oxo-4-morpholinyl]methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 911637-41-7 CAPLUS
CN Carbamic acid, [(1S)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-[(2-methyl-5-oxo-4-thiomorpholinyl)methyl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 14 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:768357 CAPLUS
DOCUMENT NUMBER: 145:189177
TITLE: Process for the preparation of chiral β-amino acid derivatives by asymmetric hydrogenation of enamino esters and amides using transition metal-complexed chiral ferrocenyldiphosphines
INVENTOR(S): Xiao, Yi; Armstrong, Joseph D., III; Krska, Shane W.; Njolito, Eugenia; Rivera, Nelo R.; Sun, Yongkui; Rosner, Thorsten; Clausen, Andrew M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 27pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006081151	A1	20060803	WO 2006-US2147	20060120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006208297	A1	20060803	AU 2006-208297	20060120
CA 2594494	A1	20060803	CA 2006-2594494	20060120
EP 1856028	A1	20071121	EP 2006-719111	20060120
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008528503	T	20080731	JP 2007-552303	20060120
IN 2007CN02897	A	20070907	IN 2007-CN2897	20070629
CN 101175714	A	20080507	CN 2006-80002872	20070723

PRIORITY APPLN. INFO.: US 2005-646697P P 20050124
WO 2006-US2147 W 20060120

OTHER SOURCE(S): CASREACT 145:189177; MARPAT 145:189177

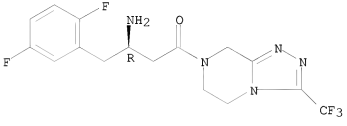
AB The invention relates to a process for the efficient preparation of enantiomerically enriched β-amino acid derivs. R1CH(NH2)CH2CO-Z [Z = OR2, SR2, NR2R3; R1 = alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R2, R3 = H, alkyl, aryl, aralkyl; R2R3N = (substituted) 4-7 membered ring] having (R)- or (S)-configuration which are useful in the asym. synthesis of biol. active mols. The process comprises an enantioselective hydrogenation of a prochiral β-aminoacrylic acid derivative in the presence of an ammonium salt and a transition metal precursor complexed with a chiral ferrocenyl diphosphine ligand. Thus, (Z)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)but-2-en-2-amine (preparation given) was hydrogenated in the presence of chloro(1,5-cyclooctadiene)rhodium(I) dimer, (R,S) tert-Bu Josiphos, and ammonium chloride in MeOH at 100 psi and 50 °C for 18

h to give 97% (R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine in 98-99% enantiomeric excess.

IT 486460-31-5P 486460-32-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of chiral β-amino acid derivs. by asym. hydrogenation of enamino esters and amides using transition metal-complexed chiral ferrocenyldiphosphines)

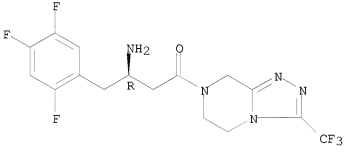
RN 486460-31-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 15 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:729507 CAPLUS
DOCUMENT NUMBER: 143:216652
TITLE: Novel crystalline salts of a dipeptidyl peptidase-IV inhibitor
INVENTOR(S): Ferlita, Russell R.; Hansen, Karl; Vydra, Vicky K.; Wang, Yaling; Lindemann, Christopher M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005072530	A1	20050811	WO 2005-US951	20050112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1708571	A1	20061011	EP 2005-705553	20050112
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				

PRIORITY APPLN. INFO.: US 2004-537073P P 20040116
WO 2005-US951 W 20050112

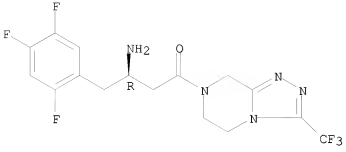
AB Novel crystalline salts of (2R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine (I) are potent inhibitors of dipeptidyl peptidase-IV and are useful for the treatment of non-insulin dependent (type 2) diabetes mellitus. The invention also relates to pharmaceutical compns. containing these novel salts, processes to prepare these salts and their pharmaceutical compns. as well as uses thereof for the treatment of type 2 diabetes. The procedure for preparing I is given.

IT 486460-32-6P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(crystalline salts of dipeptidyl peptidase-IV inhibitor)

RN 486460-32-6 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

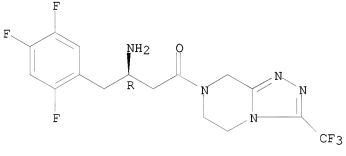


IT 862156-86-3P 862156-87-4P 862156-90-9P
862156-92-1P 862156-93-2P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystalline salts of dipeptidyl peptidase-IV inhibitor)
RN 862156-86-3 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, benzenesulfonate (1:1) (CA INDEX NAME)

CM 1

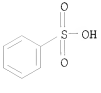
CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 98-11-3
CMF C6 H6 O3 S

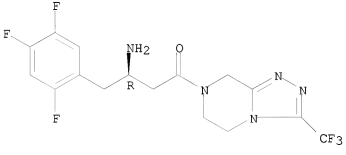


RN 862156-87-4 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

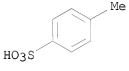
CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

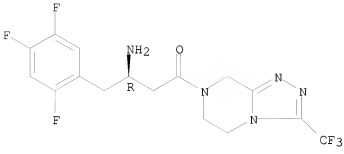


RN 862156-90-9 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1S,4R)-, compd. with 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

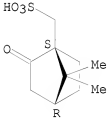
Absolute stereochemistry.



CM 2

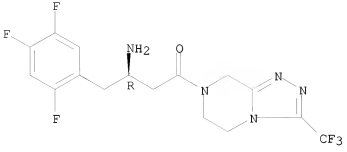
CRN 3144-16-9
CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (+).



RN 862156-92-1 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride, hydrate (1:1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

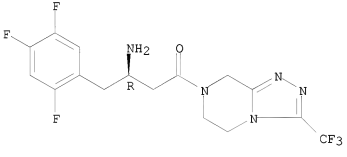
● H_2O

RN 862156-93-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, (2R,3R)-2,3-dihydroxybutanedioate, hydrate (2:2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

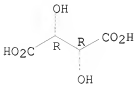
Absolute stereochemistry.



CM 2

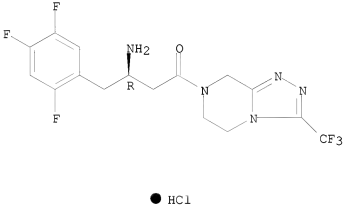
CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.



IT 486459-71-6 862156-85-2 862156-88-5
862156-89-6 862156-91-0
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crystalline salts of dipeptidyl peptidase-IV inhibitor)
RN 486459-71-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



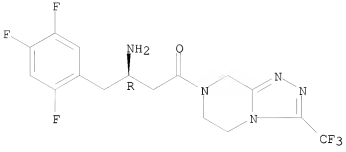
● HCl

RN 862156-85-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

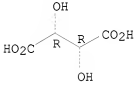
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

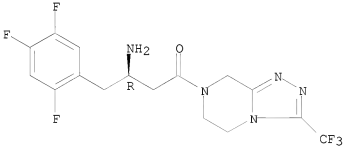


RN 862156-88-5 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, compd. with 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine (1:1) (9CI) (CA INDEX NAME)

CM 1

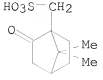
CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 5872-08-2
CMF C10 H16 O4 S

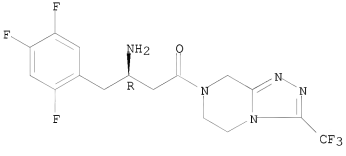


RN 862156-89-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, (2S,3S)-2,3-dihydroxybutanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

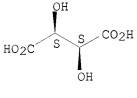
Absolute stereochemistry.



CM 2

CRN 147-71-7
CMF C4 H6 O6

Absolute stereochemistry.

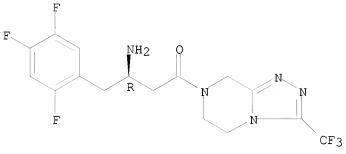


RN 862156-91-0 CAPLUS
CN Bicyclo[2.2.1]heptane-1-methanesulfonic acid, 7,7-dimethyl-2-oxo-, (1R,4S)-, compd. with 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazine (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

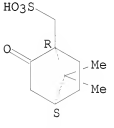
Absolute stereochemistry.



CM 2

CRN 35963-20-3
CMF C10 H16 O4 S

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 16 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:405417 CAPLUS
DOCUMENT NUMBER: 142:469248
TITLE: Pharmacetical compositions for enhanced absorption
INVENTOR(S): Wong, Patrick S. L.; Yan, Dong
PATENT ASSIGNEE(S): Alza Corporation, USA; Guittard, George V.
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 6
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005041925	A2	20050512	WO 2004-US36040	20041029
WO 2005041925	A3	20050929		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004285533	A1	20050512	AU 2004-285533	20041029
CA 2543238	A1	20050512	CA 2004-2543238	20041029
US 20050158374	A1	20050721	US 2004-978141	20041029
US 20050163848	A1	20050728	US 2004-978136	20041029
US 20050163849	A1	20050728	US 2004-978137	20041029
US 20050163841	A1	20050728	US 2004-978138	20041029
US 20050165102	A1	20050728	US 2004-978139	20041029
US 20060094782	A9	20060504		
US 20050163850	A1	20050728	US 2004-978252	20041029
EP 1677757	A2	20060712	EP 2004-810118	20041029
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1901881	A	20070124	CN 2004-80039649	20041029
JP 2007509973	T	20070419	JP 2006-538323	20041029
MX 2006PA04957	A	20061207	MX 2006-PA4957	20060502
IN 2006KN01135	A	20070427	IN 2006-KN1135	20060502
NO 2006002504	A	20060721	NO 2006-2504	20060531

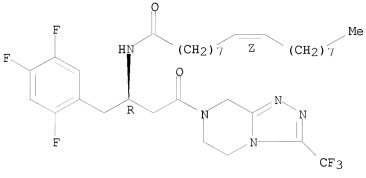
PRIORITY APPLN. INFO.:		US 2003-516259P	P 20031031
		US 2003-519509P	P 20031112
		WO 2004-US36040	W 20041029

AB Disclosed is controlled delivery of pharmaceutical agents and methods, dosage forms and devices therefore. In particular, formulation, dosage forms, methods and devices for enhanced absorption and controlled delivery drug compds. are disclosed. Thus, metformin laurate was prepared and put into a dosage from containing PEG, PVP and Mg stearate.

IT 851476-07-8
RL: FMU (Formation, unclassified); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

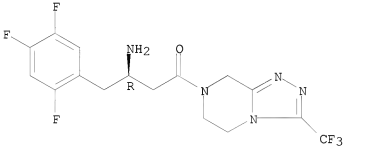
(pharmacetical compns. for enhanced absorption)
RN 851476-07-8 CAPLUS
CN 9-Octadecenamide, N-[(1R)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, (9Z)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 486460-32-6
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacetical compns. for enhanced absorption)
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



L19 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:300188 CAPLUS
DOCUMENT NUMBER: 142:360851
TITLE: Novel crystalline form of a phosphate salt of a dipeptidyl peptidase-IV inhibitor
INVENTOR(S): Chen, Alex M.; Wenslow, Robert M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030127	A2	20050407	WO 2004-US30434	20040917
WO 2005030127	A3	20050526		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1667524	A2	20060614	EP 2004-784324	20040917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070021430	A1	20070125	US 2006-570409	20060303
PRIORITY APPLN. INFO.:			US 2003-505118P	P 20030923
			WO 2004-US30434	W 20040917

AB The present invention relates to a novel crystalline anhydrate polymorph of the dihydrogen phosphate salt of (2R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine as well as a process for their preparation, pharmaceutical compns. containing this form, and methods of use of the form for the treatment of diabetes, obesity, and high blood pressure.

IT 654671-77-9P 654671-78-0P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystalline form of phosphate salt of dipeptidyl peptidase-IV inhibitor)

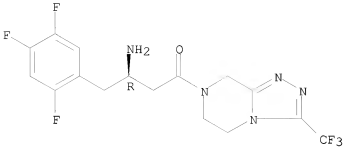
RN 654671-77-9 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate, hydrate (1:1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

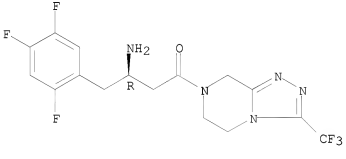
CRN 7664-38-2
CMF H3 O4 P

RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.

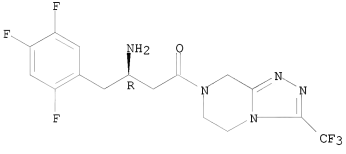


CM 2

CRN 7664-38-2
CMF H3 O4 P

IT 486460-32-6P
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(crystalline form of phosphate salt of dipeptidyl peptidase-IV inhibitor)
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

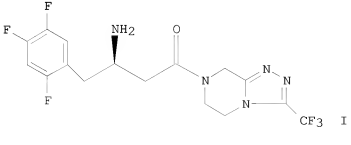


L19 ANSWER 18 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:216618 CAPLUS
DOCUMENT NUMBER: 142:303604
TITLE: Novel crystal forms of a dihydrogen phosphate salt of a trizolopyrazine dipeptidyl peptidase IV inhibitor
INVENTOR(S): Wenslow, Robert M.; Armstrong, Joseph D., III; Chen, Alex M.; Cypes, Stephen; Ferlita, Russell R.; Hansen, Karl; Lindemann, Christopher M.; Spartalis, Evangelia
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020920	A2	20050310	WO 2004-US27983	20040827
WO 2005020920	A3	20050428		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004268024	A1	20050310	AU 2004-268024	20040827
AU 2004268024	B2	20070712		
CA 2536251	A1	20050310	CA 2004-2536251	20040827
EP 1662876	A2	20060607	EP 2004-782460	20040827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
CN 1845674	A	20061011	CN 2004-80025043	20040827
JP 2007504230	T	20070301	JP 2006-525371	20040827
US 20060287528	A1	20061221	US 2006-569566	20060227
IN 2006DN01130	A	20070817	IN 2006-DN1130	20060302

PRIORITY APPLN. INFO.: US 2003-499629P P 20030902
WO 2004-US27983 W 20040827

OTHER SOURCE(S): CASREACT 142:303604
GI



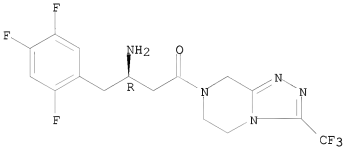
Page 83

AB The present invention relates to crystalline anhydrate polymorphs of (2R)-4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-α]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine dihydrogen phosphate salt (I) as well as a process for their preparation, pharmaceutical compns. containing these novel forms, and methods of use of the novel forms and pharmaceutical compns. for the treatment of diabetes, obesity, and high blood pressure.

IT 486460-32-6P 654671-78-0P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(crystal forms of a trizolopyrazine dihydrogen phosphate salt dipeptidyl peptidase IV inhibitor)

RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

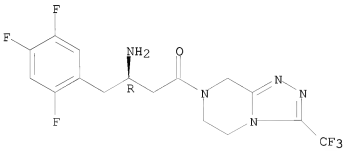


RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

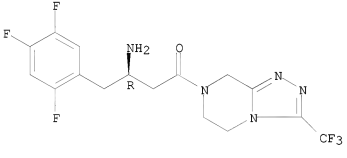
CRN 7664-38-2
CMF H3 O4 P

IT 847445-75-4 847445-76-5 847445-77-6
847445-78-7 847445-79-8 847445-80-1
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(crystal forms of a trizolopyrazine dihydrogen phosphate salt dipeptidyl peptidase IV inhibitor)
RN 847445-75-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with 2-propanone (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

CM 3

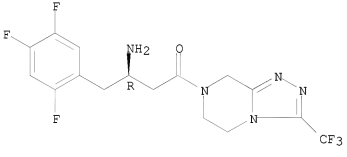
CRN 67-64-1
CMF C3 H6 O

RN 847445-76-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with acetonitrile (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

CM 3

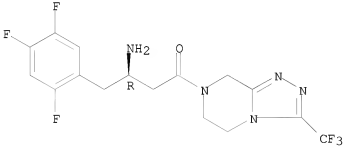
CRN 75-05-8
CMF C2 H3 N

RN 847445-77-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with methanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

CM 3

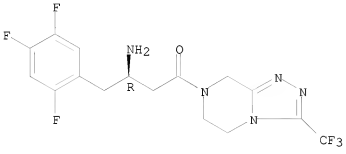
CRN 67-56-1
CMF C H4 O

RN 847445-78-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with ethanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

CM 3

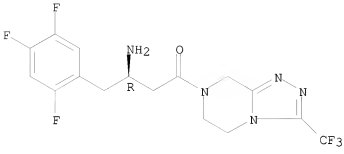
CRN 64-17-5
CMF C2 H6 O

RN 847445-79-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with 1-propanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

CM 3

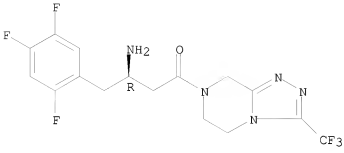
CRN 71-23-8
CMF C3 H8 O

RN 847445-80-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate, compd. with 2-propanol (1:1:?) (9CI) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

CM 3

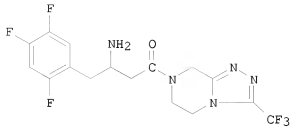
CRN 67-63-0
CMF C3 H8 O

L19 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:29336 CAPLUS
DOCUMENT NUMBER: 142:114455
TITLE: Preparation of phosphoric acid salt of a β-amino acid amide dipeptidyl peptidase-IV inhibitor and its monohydrate
INVENTOR(S): Cypes, Stephen Howard; Chen, Alex Minhua; Ferlita, Russell R.; Hansen, Karl; Lee, Ivan; Vydra, Vicky K.; Wenslow, Robert M., Jr.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005003135	A1	20050113	WO 2004-US19683	20040618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004253889	A1	20050113	AU 2004-253889	20040618
AU 2004253889	B2	20080424		
CA 2529400	A1	20050113	CA 2004-2529400	20040618
CA 2529400	C	20071009		
EP 1654263	A1	20060510	EP 2004-755691	20040618
EP 1654263	B1	20070912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
JP 2006516268	T	20060629	JP 2005-518292	20040618
BR 2004011726	A	20060808	BR 2004-11726	20040618
CN 1832949	A	20060913	CN 2004-80017544	20040618
AT 373003	T	20070915	AT 2004-755691	20040618
ES 2291907	T3	20080301	ES 2004-755691	20040618
US 20050032804	A1	20050210	US 2004-874992	20040623
US 7326708	B2	20080205		
MX 2005PA13931	A	20060224	MX 2005-PA13931	20051219
IN 2005DN05948	A	20080509	IN 2005-DN5948	20051220
NO 2006000362	A	20060323	NO 2006-362	20060123
KR 2008022232	A	20080310	KR 2008-702869	20080201

PRIORITY APPLN. INFO.: US 2003-482161P P 20030624
WO 2004-US19683 W 20040618
KR 2005-724825 A3 20051223

GI



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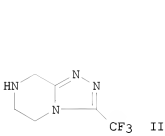

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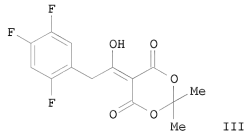


III

AB The invention is related to the preparation of dihydrogenphosphate salt of 4-oxo-4-[3-(trifluoromethyl)-5,6-dihydro[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5- trifluorophenyl)butan-2-amine (I•H3PO4) which is a potent inhibitor of dipeptidyl peptidase-IV and therefore useful for the prevention and/or treatment of type 2 diabetes. The invention also relates to the preparation of hydrates, in particular a crystalline monohydrate of the dihydrogenphosphate salt I, its pharmaceutical compns., and methods of use for the treatment of diabetes, obesity, and high blood pressure. Thus, treating II•HCl (preparation given) with III (preparation given), followed by reaction with NH4OAc in MeOH, and hydrogenation gave amine (R)-I. Reaction of amine (R)-I with 85% aqueous H3PO4 and recrystn. from isopropanol/water gave (R)-I•H3PO4•H2O.

IT 654671-77-9P, (2R)-4-Oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine dihydrogen phosphate monohydrate
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(DPPIV inhibitor; preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)

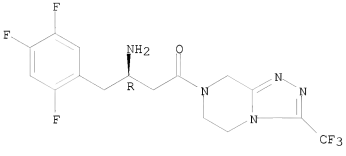
RN 654671-77-9 CAPLUS

CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate, hydrate (1:1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.

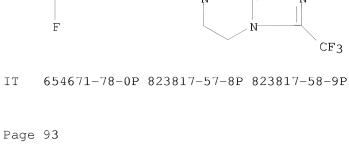


CM 2

CRN 7664-38-2
CMF H3 O4 P

IT 486460-32-6P, (2R)-4-Oxo-4-[3-(trifluoromethyl)-5,6-dihydro-[1,2,4]triazolo[4,3-a]pyrazin-7(8H)-yl]-1-(2,4,5-trifluorophenyl)butan-2-amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 654671-78-0P 823817-57-8P 823817-58-9P

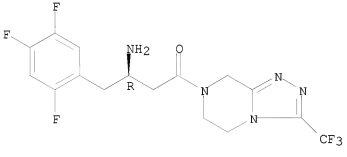
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)

RN 654671-78-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, phosphate (1:1) (CA INDEX NAME)

CM 1

CRN 486460-32-6
CMF C16 H15 F6 N5 O

Absolute stereochemistry.



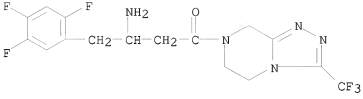
CM 2

CRN 7664-38-2
CMF H3 O4 P

RN 823817-57-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 823817-56-7
CMF C16 H15 F6 N5 O



CM 2

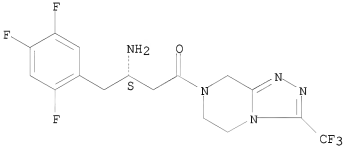
CRN 7664-38-2
CMF H3 O4 P

RN 823817-58-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3S)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 823817-55-6
CMF C16 H15 F6 N5 O

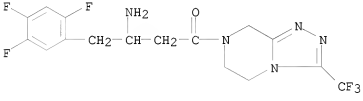
Absolute stereochemistry.



CM 2

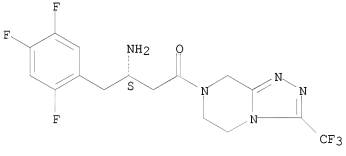
CRN 7664-38-2
CMF H3 O4 P

IT 823817-56-7
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)
RN 823817-56-7 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



IT 823817-55-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of triazolopyrazine beta amino amide dihydrogenphosphates and their monohydrates as peptidase-iv inhibitor)
RN 823817-55-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



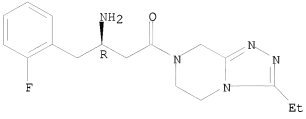
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L19 ANSWER 20 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1124587 CAPLUS
DOCUMENT NUMBER: 142:69188
TITLE: Combination therapy for the treatment of diabetes
INVENTOR(S): Erondu, Ngozi E.; Fong, Tung M.; MacNeil, Douglas J.; Van Der Ploeg, Leonardus H. T.; Kanatani, Akio
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 109 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110375	A2	20041223	WO 2004-US17291	20040602
WO 2004110375	A3	20050512		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1635832	A2	20060322	EP 2004-753999	20040602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
US 20070099884	A1	20070503	US 2005-559206	20051202
PRIORITY APPLN. INFO.:			US 2003-476388P P	20030606
			WO 2004-US17291 W	20040602

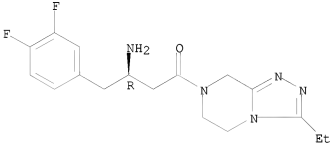
OTHER SOURCE(S): MARPAT 142:69188
AB The present invention relates to compns. comprising an anti-obesity agent and an anti-diabetic agent useful for the treatment of diabetes, diabetes associated with obesity and diabetes-related disorders. The present invention further relates to methods of treating or preventing obesity, and obesity-related disorders, in a subject in need thereof by administering a composition of the present invention. The present invention further provides for pharmaceutical compns., medicaments, and kits useful in carrying out these methods.
IT 486459-82-9 486459-83-0 486459-84-1
486459-85-2 486459-88-5 486459-89-6
486459-97-6 486460-31-5 486460-32-6
487064-52-8 487064-54-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dipeptidyl peptidase IV inhibitor; combination therapy of diabetes and diabetes-related disorders using antiobesity agent and antidiabetic agent and other agents)
RN 486459-82-9 CAPLUS
CN 1-Butanone, 3-amino-1-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



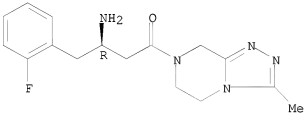
RN 486459-83-0 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



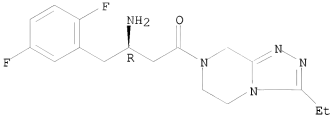
RN 486459-84-1 CAPLUS
CN 1-Butanone, 3-amino-1-(5,6-dihydro-3-methyl-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



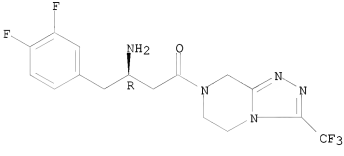
RN 486459-85-2 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



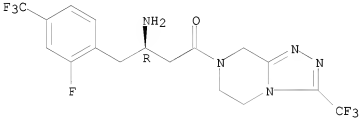
RN 486459-88-5 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



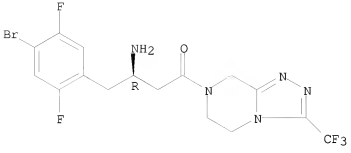
RN 486459-89-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-[2-fluoro-4-(trifluoromethyl)phenyl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



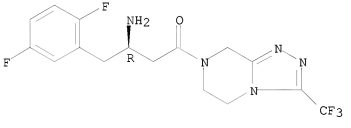
RN 486459-97-6 CAPLUS
CN 1-Butanone, 3-amino-4-(4-bromo-2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



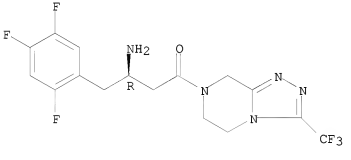
RN 486460-31-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



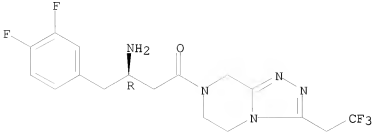
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



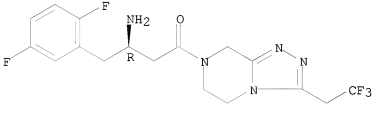
RN 487064-52-8 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-(2,2,2-trifluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 487064-54-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(2,2,2-trifluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

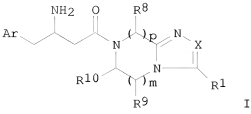
Absolute stereochemistry.



L19 ANSWER 21 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1033551 CAPLUS
DOCUMENT NUMBER: 142:23098
TITLE: Preparation of 3-amino-4-phenylbutanoic acid derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
INVENTOR(S): Biftu, Tesfaye; Feng, Danqing Dennis; Liang, Gui-Bai; Qian, Xiaoxia
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 66 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103276	A2	20041202	WO 2004-US14642	20040510
WO 2004103276	A3	20050811		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004240563	A1	20041202	AU 2004-240563	20040510
CA 2524531	A1	20041202	CA 2004-2524531	20040510
EP 1624874	A2	20060215	EP 2004-751840	20040510
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1787823	A	20060614	CN 2004-80013007	20040510
JP 2006528693	T	20061221	JP 2006-532933	20040510
IN 2005DN04937	A	20071207	IN 2005-DN4937	20051027
US 20060258646	A1	20061116	US 2005-556805	20051114
PRIORITY APPLN. INFO.:			US 2003-470564P P	20030514
			WO 2004-US14642 W	20040510

OTHER SOURCE(S): CASREACT 142:23098; MARPAT 142:23098
GI



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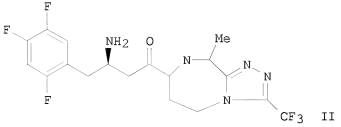


II

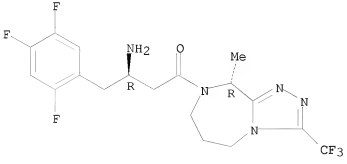
AB The invention is directed to the preparation of title compds. I [wherein m = 1, 2; n = 0-2; p = 1, 2; with the proviso that m + p = 3; X = N, CR2; Ar = (un)substituted Ph; R1, R2 = independently H, halo, OH, CN, (CH2)nCO2H and alkyl ester derivs., (CH2)nCONR4R5, (CH2)nNR4R5, (CH2)OCONR4R5, (CH2)nSO2NR4R5, (CH2)nR6, (CH2)nNR7SO2R6, (CH2)nNR7SO2NR4R5, (CH2)nNR7COR7, (CH2)nNR7CO2R6, (CH2)nCOR6, (un)substituted (CH2)n-(hetero)cycloalkyl, (CH2)n-(hetero)aryl, alkyl(thio), alkoxy, alkenyl; R3 = independently H, halo, CN, OH, (un)substituted alkyl, alkoxy; R4, R5, R7 = independently H, tetrazolyl, thiazolyl, (un)substituted (CH2)nPh, (CH2)n-cycloalkyl, alkyl; or NR4R5 = (un)substituted heterocyclyl; R6 = tetrazolyl, thiazolyl, (un)substituted (CH2)nPh, (CH2)n-cycloalkyl, alkyl] as inhibitors of the dipeptidyl peptidase-IV enzyme (DP-IV inhibitors), which are useful in the treatment or prevention of diabetes, particularly type 2 diabetes, and related conditions. The invention is also directed to pharmaceutical compns. comprising I, optionally in combination with one or more addnl. active ingredients, and the use of these compds. and compns. in the prevention or treatment of diseases in which DP-IV is involved. Thus, II•HCl was prepared as a mixture of diastereomers in eight steps by coupling D-alanine Me ester hydrochloride with acrylonitrile and di-tert-Bu dicarbonate, reducing the nitrile to the amine, cyclizing to the 1H-1,4-diazepine-1-carboxylate, deprotection, substitution with trimethoxyoxonium tetrafluoroborate, cycloaddn. with hydrazine, deprotection with HCl, and acylation with (3R)-3-[(tert-butoxycarbonyl)amino]-4-(2,4,5-trifluorophenyl)butanoic acid. I inhibited human DP-IV produced in a baculovirus expression system with IC50 values of less than about 1 μM.

IT 799768-02-8P 799768-03-9P 799768-04-0P
799768-05-1P 799768-06-2P 799768-08-4P
799768-09-5P 799768-10-8P 799768-11-9P
799768-12-0P 799768-14-2P 799768-15-3P
799768-16-4P 799768-17-5P 799768-19-7P
799768-21-1P 799768-23-3P 799768-24-4P
799768-25-5P 799768-26-6P 799768-27-7P
799768-28-8P 799768-29-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(DP-IV inhibitor; preparation of aminophenylbutanoic acid derivs. as DP-IV inhibitors for treatment of diabetes and related conditions)

RN 799768-02-8 CAPLUS
CN 1-Butanone, 3-amino-1-[(9R)-6,7-dihydro-9-methyl-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

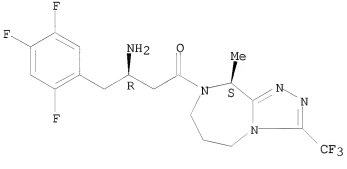
Absolute stereochemistry.



● HCl

RN 799768-03-9 CAPLUS
CN 1-Butanone, 3-amino-1-[(9S)-6,7-dihydro-9-methyl-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

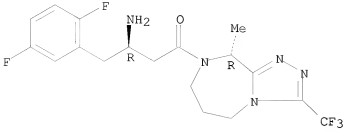
Absolute stereochemistry.



● HCl

RN 799768-04-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(9R)-6,7-dihydro-9-methyl-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

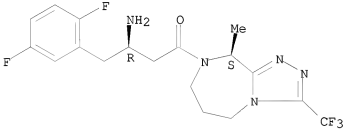
Absolute stereochemistry.



● HCl

RN 799768-05-1 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(9S)-6,7-dihydro-9-methyl-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

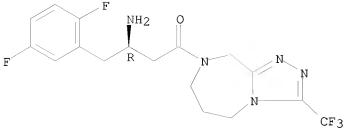
Absolute stereochemistry.



● HCl

RN 799768-06-2 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[6,7-dihydro-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

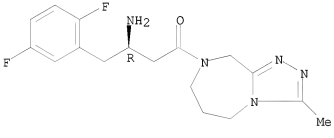
Absolute stereochemistry.



● HCl

RN 799768-08-4 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-(6,7-dihydro-3-methyl-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

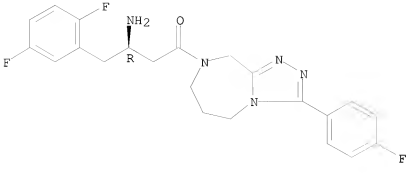
Absolute stereochemistry.



● HCl

RN 799768-09-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[3-(4-fluorophenyl)-6,7-dihydro-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

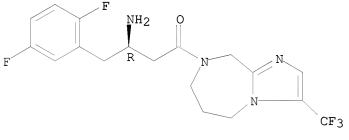
Absolute stereochemistry.



● HCl

RN 799768-10-8 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[6,7-dihydro-3-(trifluoromethyl)-5H-imidazo[1,2-a][1,4]diazepin-8(9H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

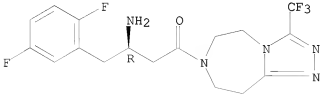
Absolute stereochemistry.



● HCl

RN 799768-11-9 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6,8,9-tetrahydro-3-(trifluoromethyl)-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

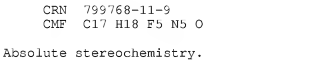


RN 799768-12-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6,8,9-tetrahydro-3-(trifluoromethyl)-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 799768-11-9
CMF C17 H18 F5 N5 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 799768-14-2 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6,8,9-tetrahydro-3-(trifluoromethyl)-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

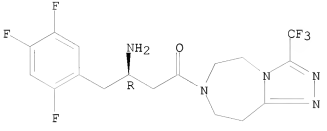
Absolute stereochemistry.

RN 799768-15-3 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6,8,9-tetrahydro-3-(trifluoromethyl)-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 799768-14-2
CMF C17 H17 F6 N5 O

Absolute stereochemistry.

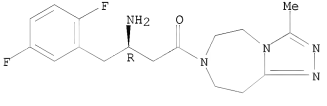


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 799768-16-4 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-(5,6,8,9-tetrahydro-3-methyl-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

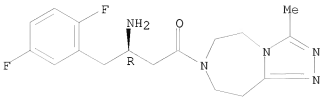


RN 799768-17-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-(5,6,8,9-tetrahydro-3-methyl-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl)-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 799768-16-4
CMF C17 H21 F2 N5 O

Absolute stereochemistry.



CM 2

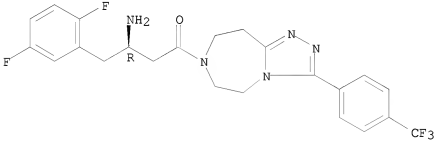
CRN 76-05-1
CMF C2 H F3 O2

RN 799768-19-7 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6,8,9-tetrahydro-3-[4-(trifluoromethyl)phenyl]-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 799768-18-6
CMF C23 H22 F5 N5 O

Absolute stereochemistry.



CM 2

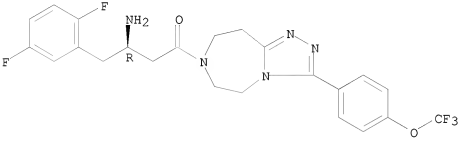
CRN 76-05-1
CMF C2 H F3 O2

RN 799768-21-1 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6,8,9-tetrahydro-3-[4-(trifluoromethoxy)phenyl]-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 799768-20-0
CMF C23 H22 F5 N5 O2

Absolute stereochemistry.



CM 2

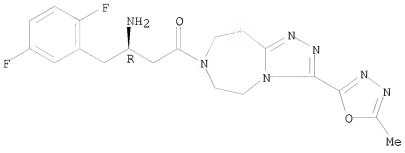
CRN 76-05-1
CMF C2 H F3 O2

RN 799768-23-3 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6,8,9-tetrahydro-3-(5-methyl-1,3,4-oxadiazol-2-yl)-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 799768-22-2
CMF C19 H21 F2 N7 O2

Absolute stereochemistry.

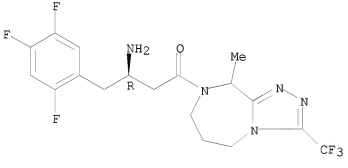


CM 2

CRN 76-05-1
CMF C2 H F3 O2

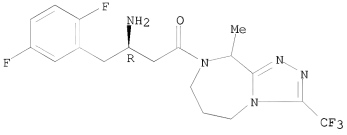
RN 799768-24-4 CAPLUS
CN 1-Butanone, 3-amino-1-[6,7-dihydro-9-methyl-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-
(CA INDEX NAME)

Absolute stereochemistry.



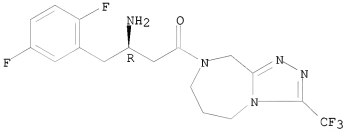
RN 799768-25-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[6,7-dihydro-9-methyl-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-, (3R)-
(CA INDEX NAME)

Absolute stereochemistry.



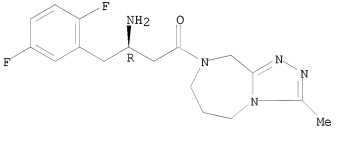
RN 799768-26-6 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[6,7-dihydro-3-(trifluoromethyl)-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



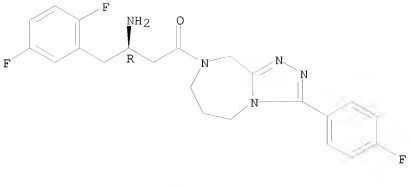
RN 799768-27-7 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-(6,7-dihydro-3-methyl-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



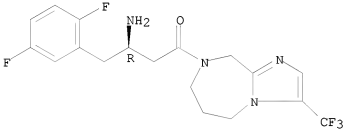
RN 799768-28-8 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[3-(4-fluorophenyl)-6,7-dihydro-5H-1,2,4-triazolo[4,3-a][1,4]diazepin-8(9H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 799768-29-9 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[6,7-dihydro-3-(trifluoromethyl)-5H-imidazo[1,2-a][1,4]diazepin-8(9H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

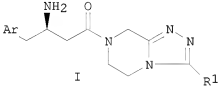


L19 ANSWER 22 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:857554 CAPLUS
DOCUMENT NUMBER: 141:314625
TITLE: Process for the preparation of β-amino acid amide dipeptidyl peptidase-IV inhibitors
INVENTOR(S): Angelaud, Remy; Armstrong, Joseph D., III; Askin, David; Balsells, Jaume; Hansen, Karl; Lee, Jaemoon; Maligres, Peter E.; Rivera, Nelo R.; Xiao, Yi; Zhong, Yong-Li
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087650	A2	20041014	WO 2004-US8826	20040323
WO 2004087650	A3	20050113		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

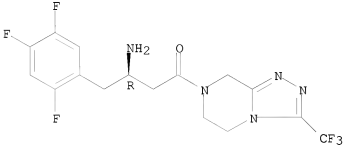
PRIORITY APPLN. INFO.: US 2003-457976P P 20030327
OTHER SOURCE(S): CASREACT 141:314625; MARPAT 141:314625
GI



AB The invention provides a novel process for the preparation of chiral β-amino acid amides I (Ar is Ph which may be substituted by halogen, trifluoromethyl or trifluoromethoxy; R1 is H, alkyl or fluoroalkyl) which are inhibitors of dipeptidyl peptidase-IV and thereby useful for the treatment of Type 2 diabetes. The process involves acylation of 5,6,7,8-tetrahydro-[1,2,4]triazolo[4,3-a]pyrazine (II) or a derivative with a (3R)-3-[(benzyloxy)amino]-4-arylbutanoic acid (III), followed by hydrogenolysis. In an example, I (Ar = 2,5-difluorophenyl, R1 = CF3) was prepared from II.HCl 3-trifluoromethyl derivative (prepared from hydrazine, Et trifluoroacetate, chloroacetyl chloride, and ethylenediamine) and III (Ar = 2,5-difluorophenyl) prepared from 2,5-difluorophenylacetic acid, Meldrum's

acid, and O-benzylhydroxylamine hydrochloride.
IT 486460-32-6P 767352-27-2P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for preparation of triazolopyrazine β-amino acyl derivs. as dipeptidyl peptidase-IV inhibitors)
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

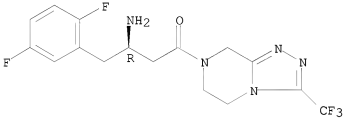


RN 767352-27-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-3-(trifluoromethyl)-, (2R,3R)-2,3-dihydroxybutanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 486460-31-5
CMF C16 H16 F5 N5 O

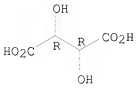
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

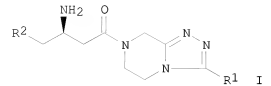

OH
HO2C
R
R
CO2H
OH

L19 ANSWER 23 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:824045 CAPLUS
DOCUMENT NUMBER: 141:332476
TITLE: Process for preparation of chiral β-amino acid derivatives
INVENTOR(S): Dreher, Spencer D.; Ikemoto, Norihiro; Njolito, Eugenia; Rivera, Nelo R.; Tellers, David M.; Xiao, Yi
PATENT ASSIGNEE(S): Merck & Co., Inc, USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085661	A2	20041007	WO 2004-US8533	20040319
WO 2004085661	A3	20050310		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-457128P P 20030324
US 2003-511210P P 20031015
OTHER SOURCE(S): CASREACT 141:332476; MARPAT 141:332476
GI



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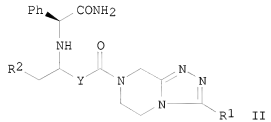
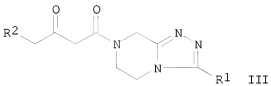


II



III

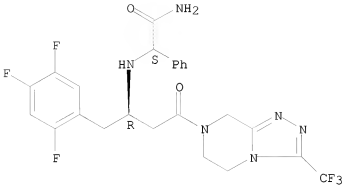
AB A process for the asym. synthesis of enantiomerically enriched β-amino acid derivs. I [R1 = H, or alkyl, unsubstituted or substituted with one to five fluorines; R2 = Ph, unsubstituted or independently substituted with one to five substituents: fluorine, trifluoromethyl, or trifluoromethoxy] in a suitable organic solvent is developed, with includes catalytic hydrogenation of Z-enamines II (Y = :CH), which was prepared by addition of L-phenylglycine amide to β-ketoesters III under acidic conditions, and subsequent catalytic hydrogenolysis of II (Y = CH2). Thus, β-ketoester III (R1 = CF3; R2 = 2,4,5-trifluorophenyl) obtained from 2,4,5-trifluorophenylacetic acid and 3-(trifluoromethyl)-5,6,7,8-tetrahydro[1,2,4]triazolo[4,4-α]pyrazine hydrochloride was added to L-phenylglycine amide to give Z-enamine II (R1 = CF3; R2 = 2,4,5-trifluorophenyl), which after catalytic hydrogenation in the presence of platinum dioxide, followed by hydrogenolysis with palladium dihydroxide as catalyst gave compound I (R1 = CF3; R2 = 2,4,5-trifluorophenyl) in 94.55% yield and 97% ee.

IT 769195-20-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. synthesis of chiral β-amino acid derivs. via addition of phenylglycine amide to triazolopyrazinyl β-ketoesters, followed by catalytic hydrogenation of enamines and catalytic hydrogenolysis)

RN 769195-20-2 CAPLUS

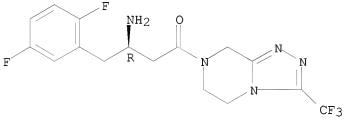
CN Benzeneacetamide, α-[[(1R)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]amino]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



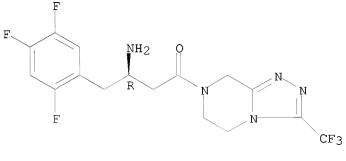
IT 486460-31-5P 486460-32-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of chiral β-amino acid derivs. via addition of phenylglycine amide to triazolopyrazinyl β-ketoesters, followed by catalytic hydrogenation of enamines and catalytic hydrogenolysis)
RN 486460-31-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

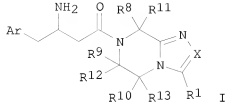


L19 ANSWER 24 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:565099 CAPLUS
DOCUMENT NUMBER: 141:123655
TITLE: Preparation of 3-amino-4-phenylbutanoic acid derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
INVENTOR(S): Duffy, Joseph L.; Edmondson, Scott D.; Kim, Dooseop; Kirk, Brian A.; Wang, Liping; Weber, Ann E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058266	A1	20040715	WO 2003-US40114	20031216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2508947	A1	20040715	CA 2003-2508947	20031216
AU 2003297219	A1	20040722	AU 2003-297219	20031216
EP 1583534	A1	20051012	EP 2003-814066	20031216
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006513265	T	20060420	JP 2005-509979	20031216
US 20060052382	A1	20060309	US 2005-540283	20050620

PRIORITY APPLN. INFO.:			
US 2002-435389P	P	20021220	
US 2003-469315P	P	20030509	
WO 2003-US40114	W	20031216	

OTHER SOURCE(S): MARPAT 141:123655
GI



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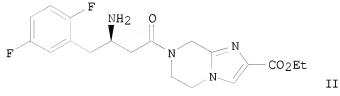


II

AB Title compds. I [wherein X = N or CR2; Ar = (un)substituted Ph; R1, R2 = independently H, halo, HO, cyano, (un)substituted alkyl(thio), alkoxy, etc.; R8-R10 = independently H, cyano, carboxy, (un)substituted (cyclo)alkyl, (hetero)aryl, etc.; R11-R13 = independently H, alkyl; with proviso; and pharmaceutically acceptable salts thereof] were prepared as dipeptidyl peptidase inhibitors (no data). For example, Et 7-[(3R)-3-amino-4-(2,5-difluorophenyl)butanoyl]-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine-2-carboxylic acid trifluoroacetic acid salt (II•CF3CO2H) was given in a multiple-step synthesis starting from Et imidazo[1,2-a]pyrazine-2-carboxylate. Thus, I and their pharmaceutical compns. are useful for the treatment or prevention of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as diabetes and particularly type 2 diabetes (no data).

IT 723286-07-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 3-amino-4-phenylbutanoic acid derivs. as dipeptidyl peptidase inhibitors for treatment or prevention of diabetes)

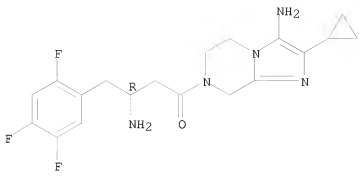
RN 723286-07-5 CAPLUS

CN 1-Butanone, 3-amino-1-(3-amino-2-cyclopropyl-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-4-(2,4,5-trifluorophenyl)-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 723286-06-4
CMF C19 H22 F3 N5 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT 723285-98-1P 723285-99-2P 723286-00-8P
723286-01-9P 723286-02-0P 723286-03-1P
723286-04-2P 723286-06-4P 723286-08-6P
723286-09-7P 723286-10-0P 723286-11-1P
723286-13-3P 723286-14-4P 723286-15-5P
723286-16-6P 723286-18-8P 723286-19-9P
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723286-23-5P 723286-24-6P 723286-25-7P
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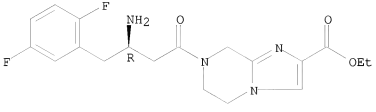
723286-44-0P 723286-45-1P 723286-46-2P
723286-47-3P 723286-48-4P 723286-49-5P
723286-50-8P 723286-51-9P 723286-52-0P
723286-53-1P 723286-54-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-amino-4-phenylbutanoic acid derivs. as dipeptidyl peptidase inhibitors for treatment or prevention of diabetes)

RN 723285-98-1 CAPLUS

CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

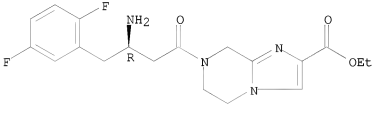


RN 723285-99-2 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 723285-98-1
CMF C19 H22 F2 N4 O3

Absolute stereochemistry.

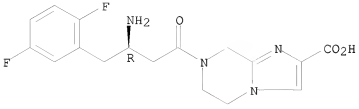


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 723286-00-8 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.

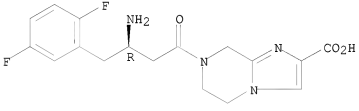


RN 723286-01-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 723286-00-8
CMF C17 H18 F2 N4 O3

Absolute stereochemistry.

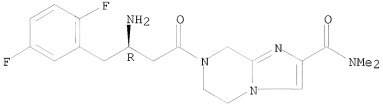


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 723286-02-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-N,N-dimethyl-, hydrochloride (1:2) (CA INDEX NAME)

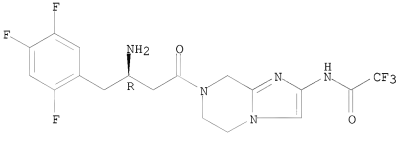
Absolute stereochemistry.



●2 HCl

RN 723286-03-1 CAPLUS
CN Acetamide, N-[7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-2-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

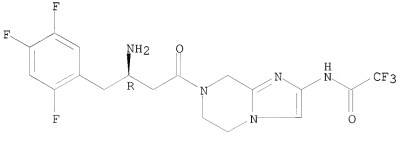


RN 723286-04-2 CAPLUS
CN Acetamide, N-[7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-2-yl]-2,2,2-trifluoro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 723286-03-1
CMF C18 H17 F6 N5 O2

Absolute stereochemistry.

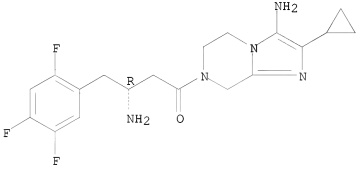


CM 2

CRN 76-05-1
CMF C2 H F3 O2

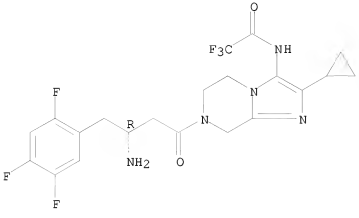
RN 723286-06-4 CAPLUS
CN 1-Butanone, 3-amino-1-(3-amino-2-cyclopropyl-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 723286-08-6 CAPLUS
CN Acetamide, N-[7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-2-cyclopropyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

Absolute stereochemistry.

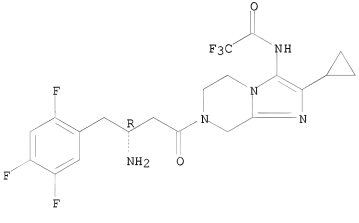


RN 723286-09-7 CAPLUS
CN Acetamide, N-[7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-2-cyclopropyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-3-yl]-2,2,2-trifluoro-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 723286-08-6
CMF C21 H21 F6 N5 O2

Absolute stereochemistry.

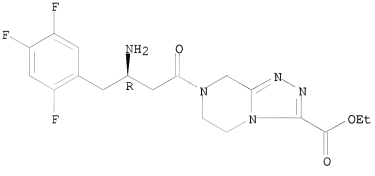


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 723286-10-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

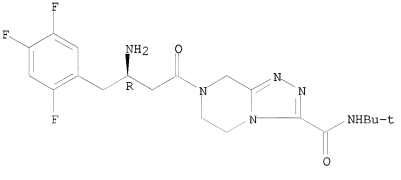
Absolute stereochemistry.



● HCl

RN 723286-11-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-carboxamide, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-N-(1,1-dimethylethyl)-5,6,7,8-tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)

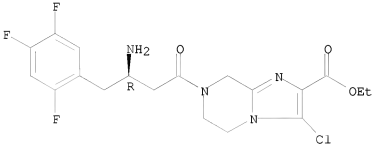
Absolute stereochemistry.



● HCl

RN 723286-13-3 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-chloro-5,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

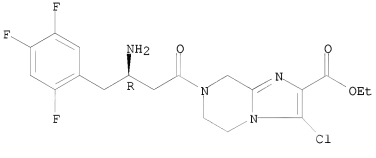


RN 723286-14-4 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-chloro-5,6,7,8-tetrahydro-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 723286-13-3
CMF C19 H20 Cl F3 N4 O3

Absolute stereochemistry.

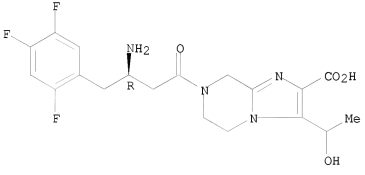


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 723286-15-5 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(1-hydroxyethyl)- (CA INDEX NAME)

Absolute stereochemistry.

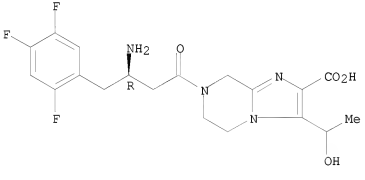


RN 723286-16-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-3-(1-hydroxyethyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 723286-15-5
CMF C19 H21 F3 N4 O4

Absolute stereochemistry.

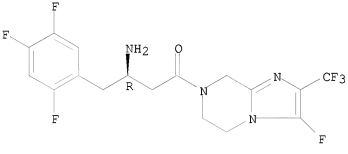


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 723286-18-8 CAPLUS
CN 1-Butanone, 3-amino-1-[3-fluoro-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

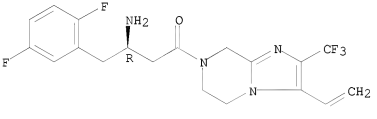
Absolute stereochemistry.



●2 HCl

RN 723286-19-9 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[3-ethenyl-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

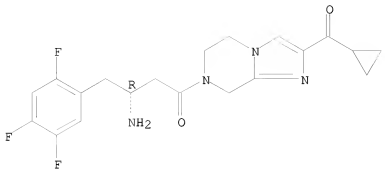
Absolute stereochemistry.



● HCl

RN 723286-20-2 CAPLUS
CN 1-Butanone, 3-amino-1-[2-(cyclopropylcarbonyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

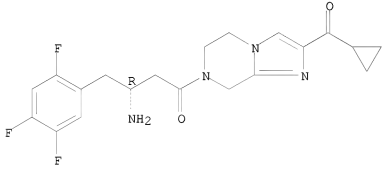


RN 723286-21-3 CAPLUS
CN 1-Butanone, 3-amino-1-[2-(cyclopropylcarbonyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

CRN 723286-20-2
CMF C20 H21 F3 N4 O2

Absolute stereochemistry.



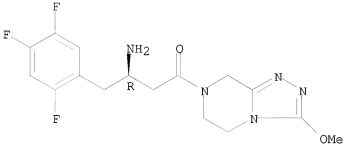
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 723286-22-4 CAPLUS
CN 1-Butanone, 3-amino-1-(5,6-dihydro-3-methoxy-1,2,4-triazolo[4,3-a]pyrazin-

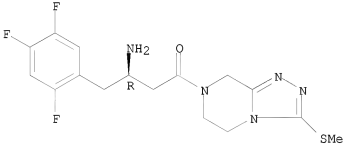
7(8H)-yl)-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



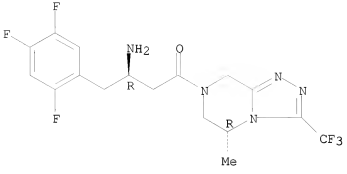
RN 723286-23-5 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(methylthio)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 723286-24-6 CAPLUS
CN 1-Butanone, 3-amino-1-[(5R)-5,6-dihydro-5-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

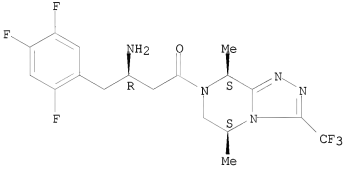
Absolute stereochemistry.



● HCl

RN 723286-25-7 CAPLUS
CN 1-Butanone, 3-amino-1-[(5S,8S)-5,6-dihydro-5,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

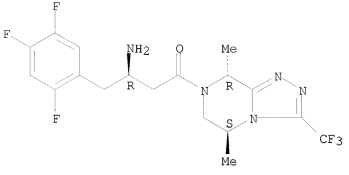
Absolute stereochemistry.



● HCl

RN 723286-26-8 CAPLUS
CN 1-Butanone, 3-amino-1-[(5S,8R)-5,6-dihydro-5,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

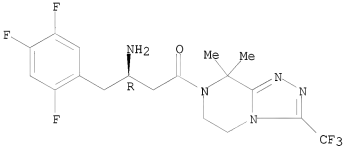
Absolute stereochemistry.



● HCl

RN 723286-27-9 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-8,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

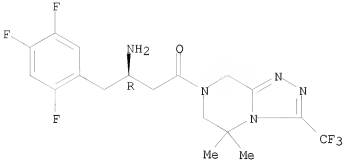
Absolute stereochemistry.



● HCl

RN 723286-28-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-5,5-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

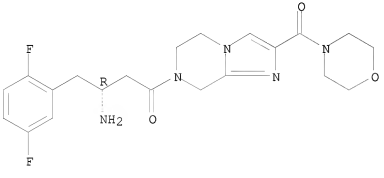
Absolute stereochemistry.



● HCl

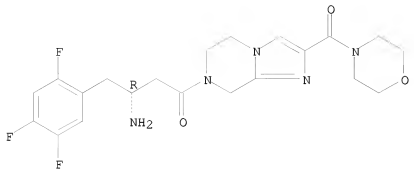
RN 723286-29-1 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-2-(4-morpholinylcarbonyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



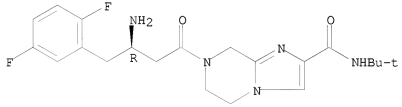
RN 723286-30-4 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-2-(4-morpholinylcarbonyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



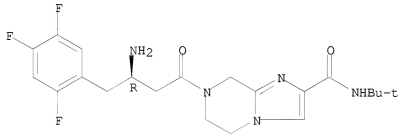
RN 723286-31-5 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-N-(1,1-dimethylethyl)-5,6,7,8-tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.



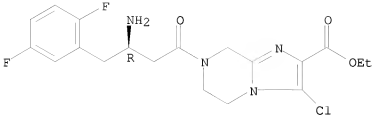
RN 723286-32-6 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-N-(1,1-dimethylethyl)-5,6,7,8-tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.



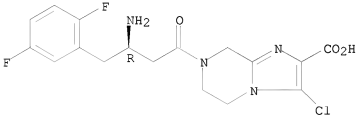
RN 723286-33-7 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-3-chloro-5,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



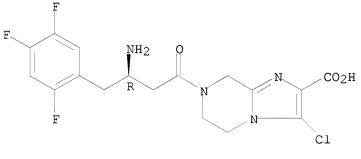
RN 723286-34-8 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-3-chloro-5,6,7,8-tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.



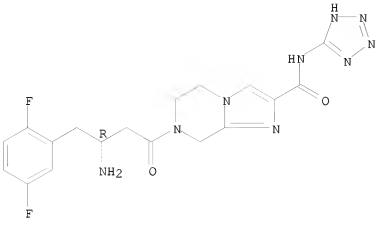
RN 723286-35-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-3-chloro-5,6,7,8-tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.



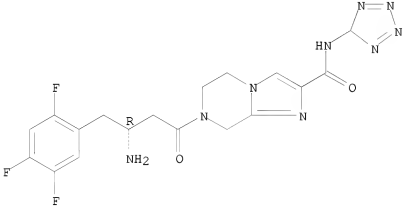
RN 723286-36-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-N-2H-tetrazol-5-yl- (CA INDEX NAME)

Absolute stereochemistry.



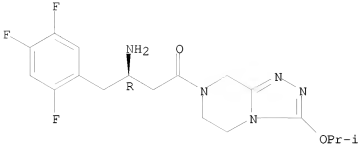
RN 723286-37-1 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-N-5H-tetrazol-5-yl- (CA INDEX NAME)

Absolute stereochemistry.



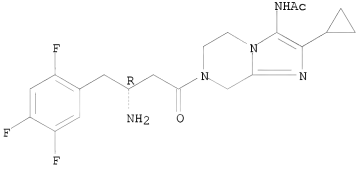
RN 723286-38-2 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(1-methylethoxy)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



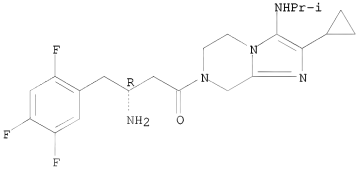
RN 723286-39-3 CAPLUS
CN Acetamide, N-[7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-2-cyclopropyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 723286-40-6 CAPLUS
CN 1-Butanone, 3-amino-1-[2-cyclopropyl-5,6-dihydro-3-[(1-methylethyl)amino]imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

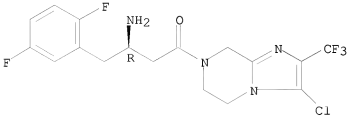
Absolute stereochemistry.



RN 723286-41-7 CAPLUS
CN 1-Butanone, 3-amino-1-[3-chloro-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-

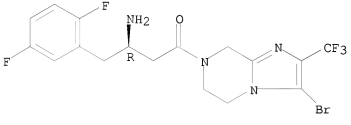
a]pyrazin-7(8H)-yl]-4-(2,5-difluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



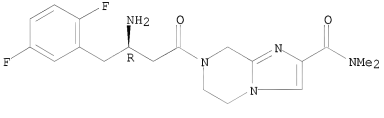
RN 723286-42-8 CAPLUS
CN 1-Butanone, 3-amino-1-[3-bromo-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,5-difluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



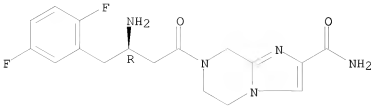
RN 723286-43-9 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro-N,N-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



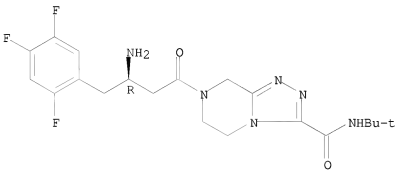
RN 723286-44-0 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxamide, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-5,6,7,8-tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.



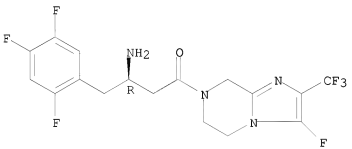
RN 723286-45-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-carboxamide, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-N-(1,1-dimethylethyl)-5,6,7,8-tetrahydro- (CA INDEX NAME)

Absolute stereochemistry.



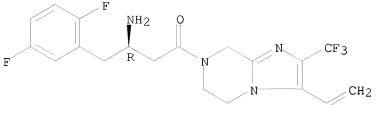
RN 723286-46-2 CAPLUS
CN 1-Butanone, 3-amino-1-[3-fluoro-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



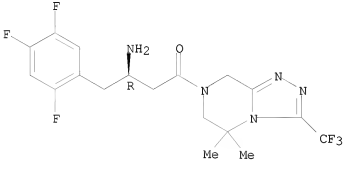
RN 723286-47-3 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[3-ethenyl-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



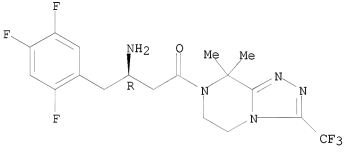
RN 723286-48-4 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-5,5-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



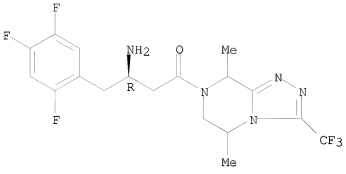
RN 723286-49-5 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-8,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



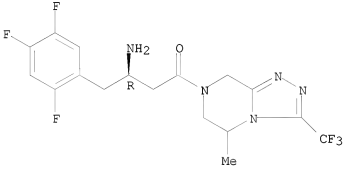
RN 723286-50-8 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-5,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



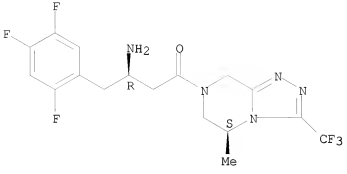
RN 723286-51-9 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-5-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 723286-52-0 CAPLUS
CN 1-Butanone, 3-amino-1-[(5S)-5,6-dihydro-5-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

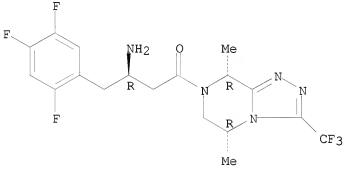
Absolute stereochemistry.



● HCl

RN 723286-53-1 CAPLUS
CN 1-Butanone, 3-amino-1-[(5R,8R)-5,6-dihydro-5,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

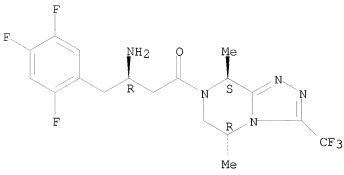
Absolute stereochemistry.



● HCl

RN 723286-54-2 CAPLUS
CN 1-Butanone, 3-amino-1-[(5R,8S)-5,6-dihydro-5,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

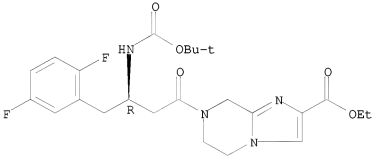
Absolute stereochemistry.



● HCl

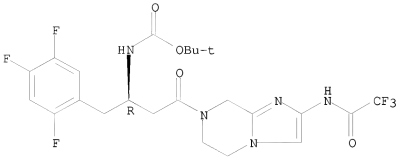
IT 723286-62-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 3-amino-4-phenylbutanoic acid derivs. as dipeptidyl peptidase inhibitors for treatment or prevention of diabetes)
RN 723286-62-2 CAPLUS
CN Imidazo[1,2-a]pyrazine-2-carboxylic acid, 7-[(3R)-4-(2,5-difluorophenyl)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxobutyl]-5,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



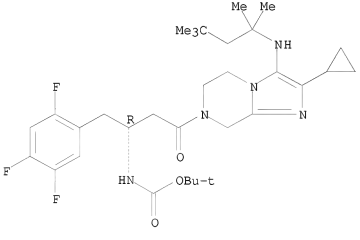
IT 723286-58-6P 723286-61-1P 723286-65-5P
723286-69-9P 723286-72-4P 723286-74-6P
723286-76-8P 723286-78-0P 723286-84-8P
723286-92-8P 723286-96-2P 723286-99-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-amino-4-phenylbutanoic acid derivs. as dipeptidyl peptidase inhibitors for treatment or prevention of diabetes)
RN 723286-58-6 CAPLUS
CN Carbamic acid, [(1R)-3-[5,6-dihydro-2-[(trifluoroacetyl)amino]imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



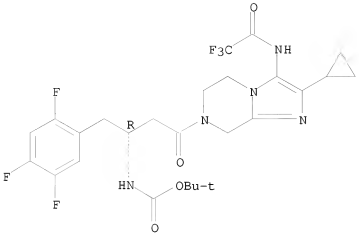
RN 723286-61-1 CAPLUS
CN Carbamic acid, [(1R)-3-[2-cyclopropyl-5,6-dihydro-3-[(1,1,3,3-tetramethylbutyl)amino]imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



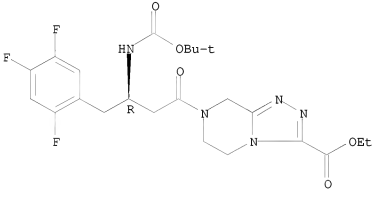
RN 723286-65-5 CAPLUS
CN Carbamic acid, [(1R)-3-[2-cyclopropyl-5,6-dihydro-3-[(trifluoroacetyl)amino]imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



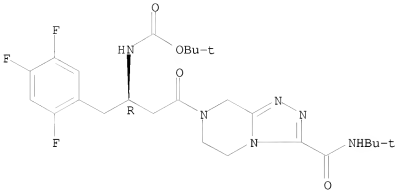
RN 723286-69-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyrazine-3-carboxylic acid, 7-[(3R)-3-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.



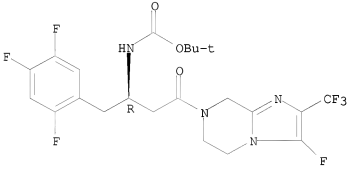
RN 723286-72-4 CAPLUS
CN Carbamic acid, [(1R)-3-[3-[[(1,1-dimethylethyl)amino]carbonyl]-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



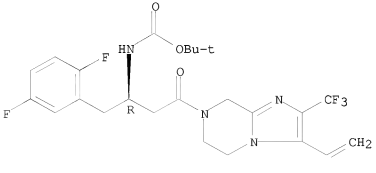
RN 723286-74-6 CAPLUS
CN Carbamic acid, [(1R)-3-[3-fluoro-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



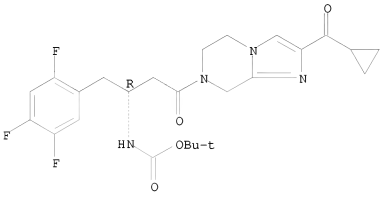
RN 723286-76-8 CAPLUS
CN Carbamic acid, [(1R)-1-[(2,5-difluorophenyl)methyl]-3-[3-ethenyl-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



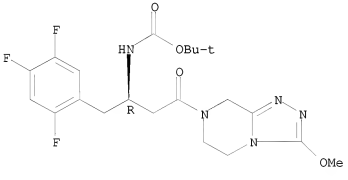
RN 723286-78-0 CAPLUS
CN Carbamic acid, [(1R)-3-[2-(cyclopropylcarbonyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



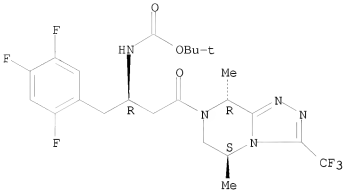
RN 723286-84-8 CAPLUS
CN Carbamic acid, [(1R)-3-(5,6-dihydro-3-methoxy-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



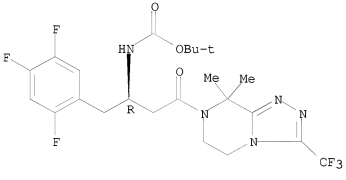
RN 723286-92-8 CAPLUS
CN Carbamic acid, N-[(1R)-3-[(5S,8R)-5,6-dihydro-5,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



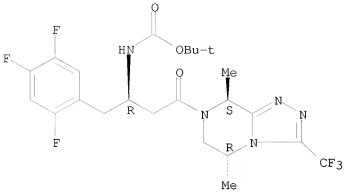
RN 723286-96-2 CAPLUS
CN Carbamic acid, [(1R)-3-[5,6-dihydro-8,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 723286-99-5 CAPLUS
CN Carbamic acid, N-[(1R)-3-[(5R,8S)-5,6-dihydro-5,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.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L19 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:796660 CAPLUS
DOCUMENT NUMBER: 139:307796
TITLE: Preparation of aminoacylimidazo- and triazolopyrazines as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
INVENTOR(S): Brockunier, Linda L.; Duffy, Joseph L.; Kim, Dooseop; Parmee, Emma R.; Weber, Ann E.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082817	A2	20031009	WO 2003-US8723	20030321
WO 2003082817	A3	20031218		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2478389	A1	20031009	CA 2003-2478389	20030321
AU 2003225916	A1	20031013	AU 2003-225916	20030321
EP 1490335	A2	20041229	EP 2003-745557	20030321
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JP 2005526811	T	20050908	JP 2003-580285	20030321
AT 373660	T	20071015	AT 2003-745557	20030321
US 20050107390	A1	20050519	US 2004-508898	20040923
US 7307164	B2	20071211		

PRIORITY APPLN. INFO.: US 2002-367410P P 20020325
WO 2003-US8723 W 20030321

OTHER SOURCE(S): MARPAT 139:307796
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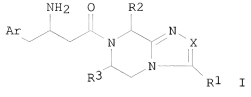
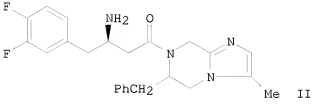




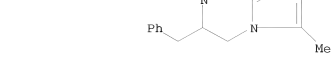
AB Title compds. I [Ar = (un)substituted Ph; X = N, (un)substituted CH2; R1 = H, CN, (un)substituted alkyl, Ph, heterocyclic; R2, R3 = H, CN, (un)substituted alkyl, Ph, naphthyl, CO2H, CONH2, cycloalkyl] were prepared for use as dipeptidyl peptidase-IV inhibitors in the treatment or prevention of diseases, such as diabetes and particularly type 2 diabetes. Thus, 6-benzyl-3-methyl-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine was prepared in 5 steps from 2-benzyloxirane and was acylated with (R)-3,4-F2C6H3CH2CH(NHCO2CMe3)CH2CO2H and deblocked to give the imidazopyrazine II.

IT 611240-60-9P 611240-63-2P 611240-81-4P
611240-83-6P 611240-84-7P 611240-85-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminoacylimidazo- and triazolopyrazines as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes)

RN 611240-60-9 CAPLUS

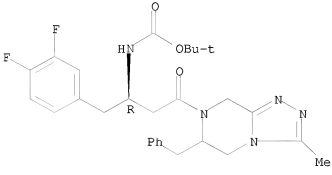
CN Carbamic acid, [(1R)-1-[(3,4-difluorophenyl)methyl]-3-[5,6-dihydro-3-methyl-6-(phenylmethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



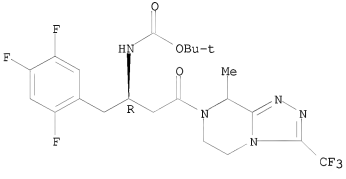
RN 611240-63-2 CAPLUS
CN Carbamic acid, [(1R)-1-[(3,4-difluorophenyl)methyl]-3-[5,6-dihydro-3-methyl-6-(phenylmethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



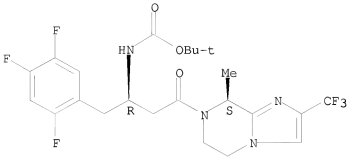
RN 611240-81-4 CAPLUS
CN Carbamic acid, [(1R)-3-[5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



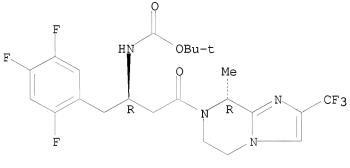
RN 611240-83-6 CAPLUS
CN Carbamic acid, [(1R)-3-[(8S)-5,6-dihydro-8-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



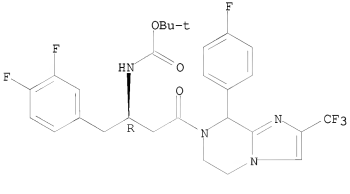
RN 611240-84-7 CAPLUS
CN Carbamic acid, [(1R)-3-[(8R)-5,6-dihydro-8-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 611240-85-8 CAPLUS
CN Carbamic acid, [(1R)-1-[(3,4-difluorophenyl)methyl]-3-[8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 611239-93-1P 611239-94-2P 611239-96-4P
611239-97-5P 611239-99-7P 611240-00-7P
611240-01-8P 611240-02-9P 611240-03-0P
611240-04-1P 611240-05-2P 611240-06-3P
611240-07-4P 611240-08-5P 611240-09-6P
611240-10-9P 611240-11-0P 611240-12-1P
611240-13-2P 611240-14-3P 611240-15-4P
611240-16-5P 611240-17-6P 611240-18-7P
611240-19-8P 611240-20-1P 611240-21-2P
611240-22-3P 611240-23-4P 611240-24-5P
611240-25-6P 611240-26-7P 611240-27-8P
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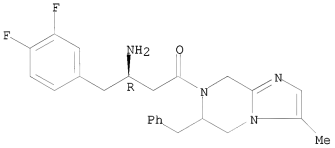
611240-37-0P 611240-38-1P 611240-39-2P
611240-40-5P 611240-41-6P 611240-42-7P
611240-43-8P 611240-44-9P 611240-45-0P
611240-80-3P 611240-82-5P 611240-87-0P
611240-88-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminoacylimidazo- and triazolopyrazines as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes)

RN 611239-93-1 CAPLUS

CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-methyl-6-(phenylmethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

Absolute stereochemistry.

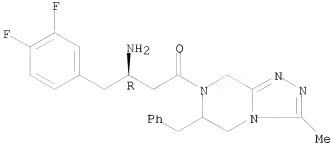


●2 HCl

RN 611239-94-2 CAPLUS

CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-methyl-6-(phenylmethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



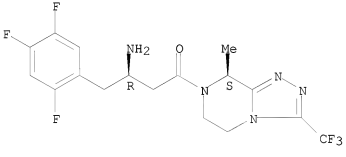
● HCl

RN 611239-96-4 CAPLUS
CN 1-Butanone, 3-amino-1-[(8S)-5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 611239-95-3
CMF C17 H17 F6 N5 O

Absolute stereochemistry.



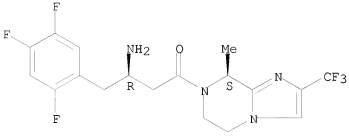
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 611239-97-5 CAPLUS
CN 1-Butanone, 3-amino-1-[(8S)-5,6-dihydro-8-methyl-2-

(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



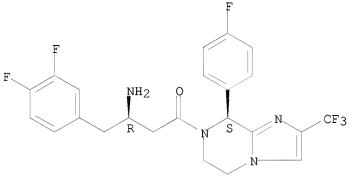
●2 HCl

RN 611239-99-7 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[(8S)-8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 611239-98-6
CMF C23 H20 F6 N4 O

Absolute stereochemistry.

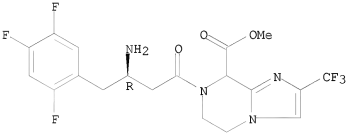


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 611240-00-7 CAPLUS
CN Imidazo[1,2-a]pyrazine-8-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-2-(trifluoromethyl)-, methyl ester, hydrochloride (1:2) (CA INDEX NAME)

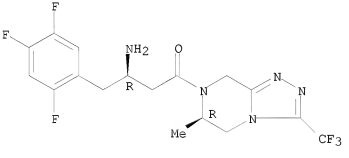
Absolute stereochemistry.



●2 HCl

RN 611240-01-8 CAPLUS
CN 1-Butanone, 3-amino-1-[(6R)-5,6-dihydro-6-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.

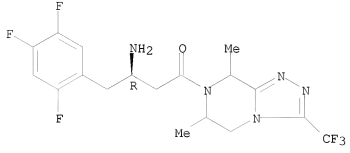


● HCl

RN 611240-02-9 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-6,8-dimethyl-3-(trifluoromethyl)-1,2,4-

triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

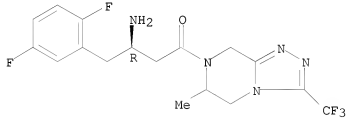
Absolute stereochemistry.



● HCl

RN 611240-03-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-6-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

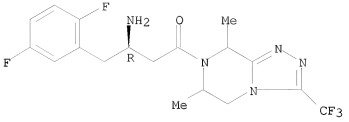
Absolute stereochemistry.



● HCl

RN 611240-04-1 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-6,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

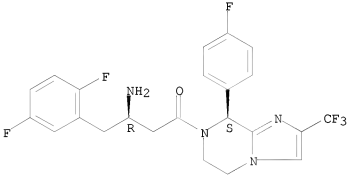
Absolute stereochemistry.



● HCl

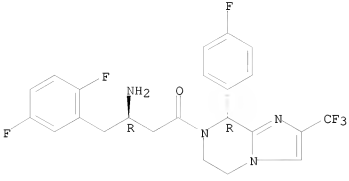
RN 611240-05-2 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8S)-8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



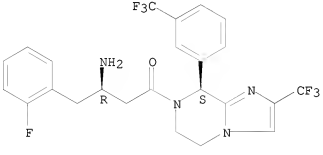
RN 611240-06-3 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8R)-8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



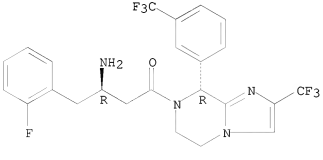
RN 611240-07-4 CAPLUS
CN 1-Butanone, 3-amino-1-[(8S)-5,6-dihydro-2-(trifluoromethyl)-8-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 611240-08-5 CAPLUS
CN 1-Butanone, 3-amino-1-[(8R)-5,6-dihydro-2-(trifluoromethyl)-8-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

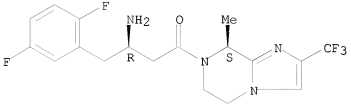
Absolute stereochemistry.



RN 611240-09-6 CAPLUS

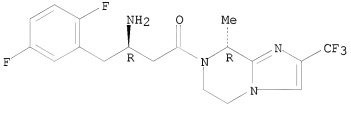
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8S)-5,6-dihydro-8-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



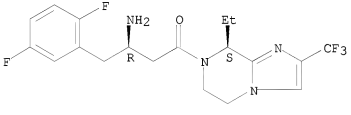
RN 611240-10-9 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8R)-5,6-dihydro-8-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



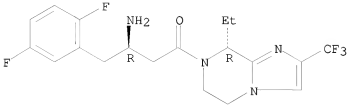
RN 611240-11-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8S)-8-ethyl-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



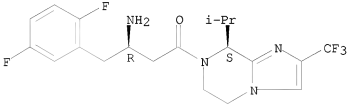
RN 611240-12-1 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8R)-8-ethyl-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



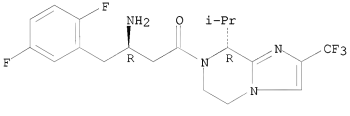
RN 611240-13-2 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8S)-5,6-dihydro-8-(1-methylethyl)-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



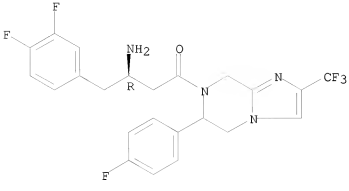
RN 611240-14-3 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8R)-5,6-dihydro-8-(1-methylethyl)-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



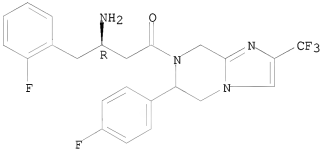
RN 611240-15-4 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[6-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



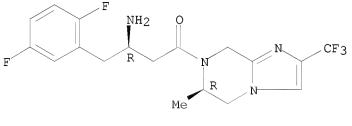
RN 611240-16-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2-fluorophenyl)-1-[6-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



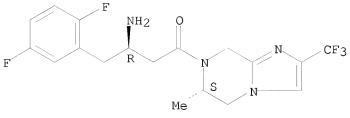
RN 611240-17-6 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(6R)-5,6-dihydro-6-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



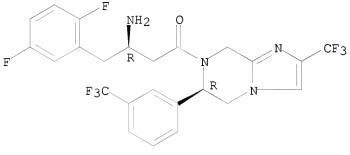
RN 611240-18-7 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(6S)-5,6-dihydro-6-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



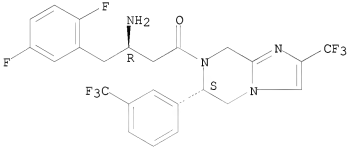
RN 611240-19-8 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(6R)-5,6-dihydro-2-(trifluoromethyl)-6-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



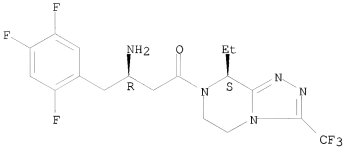
RN 611240-20-1 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(6S)-5,6-dihydro-2-(trifluoromethyl)-6-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



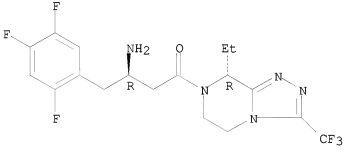
RN 611240-21-2 CAPLUS
CN 1-Butanone, 3-amino-1-[(8S)-8-ethyl-5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



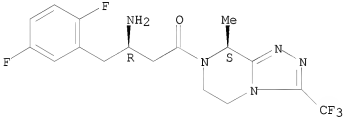
RN 611240-22-3 CAPLUS
CN 1-Butanone, 3-amino-1-[(8R)-8-ethyl-5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



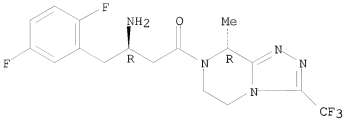
RN 611240-23-4 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8S)-5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



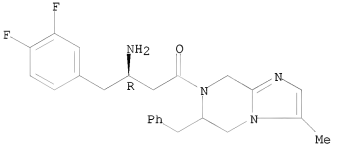
RN 611240-24-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[(8R)-5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



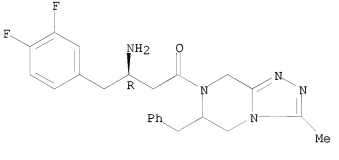
RN 611240-25-6 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-methyl-6-(phenylmethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



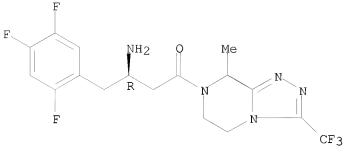
RN 611240-26-7 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-methyl-6-(phenylmethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



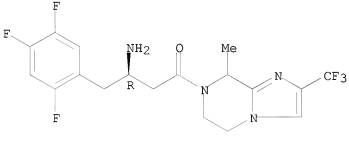
RN 611240-27-8 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



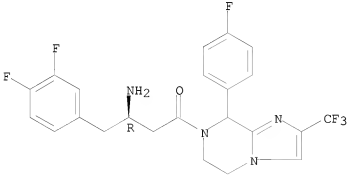
RN 611240-28-9 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-8-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



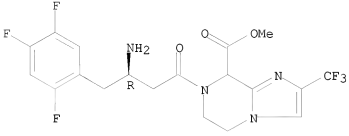
RN 611240-29-0 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



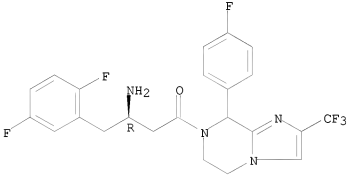
RN 611240-30-3 CAPLUS
CN Imidazo[1,2-a]pyrazine-8-carboxylic acid, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-2-(trifluoromethyl)-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



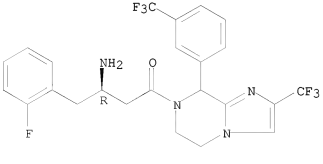
RN 611240-31-4 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



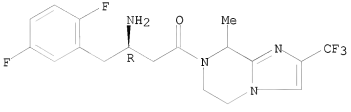
RN 611240-32-5 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-2-(trifluoromethyl)-8-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



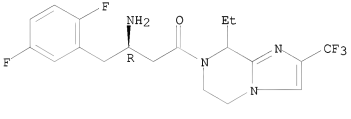
RN 611240-33-6 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-8-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



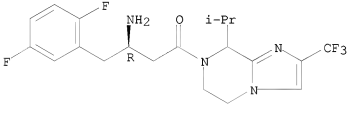
RN 611240-34-7 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[8-ethyl-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



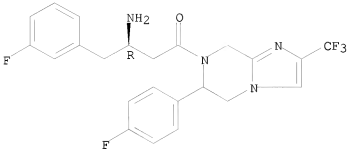
RN 611240-35-8 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-8-(1-methylethyl)-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



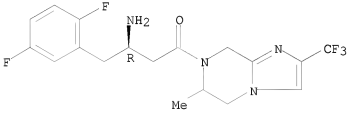
RN 611240-36-9 CAPLUS
CN 1-Butanone, 3-amino-4-(3-fluorophenyl)-1-[6-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



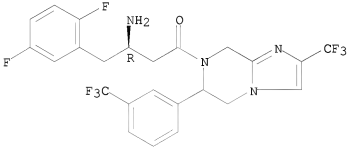
RN 611240-37-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-6-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



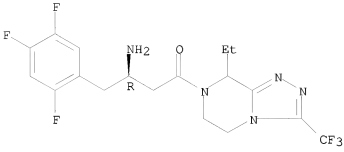
RN 611240-38-1 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-2-(trifluoromethyl)-6-[3-(trifluoromethyl)phenyl]imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



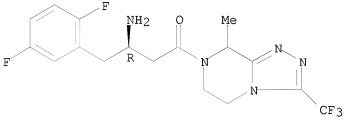
RN 611240-39-2 CAPLUS
CN 1-Butanone, 3-amino-1-[8-ethyl-5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



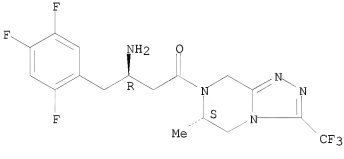
RN 611240-40-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



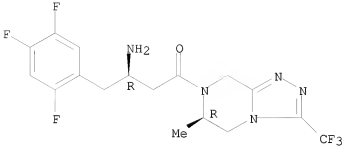
RN 611240-41-6 CAPLUS
CN 1-Butanone, 3-amino-1-[(6S)-5,6-dihydro-6-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



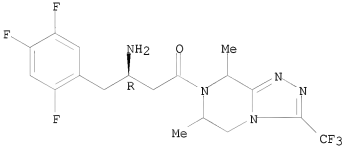
RN 611240-42-7 CAPLUS
CN 1-Butanone, 3-amino-1-[(6R)-5,6-dihydro-6-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



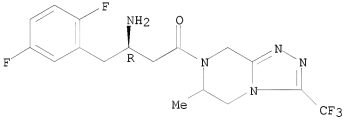
RN 611240-43-8 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-6,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



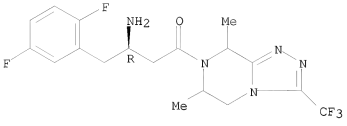
RN 611240-44-9 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-6-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 611240-45-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-6,8-dimethyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

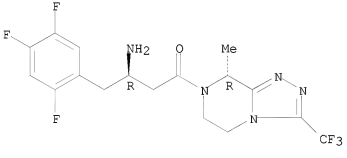


RN 611240-80-3 CAPLUS
CN 1-Butanone, 3-amino-1-[(8R)-5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 611240-79-0
CMF C17 H17 F6 N5 O

Absolute stereochemistry.

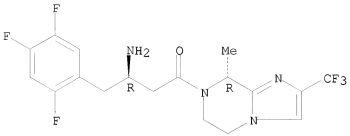


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 611240-82-5 CAPLUS
CN 1-Butanone, 3-amino-1-[(8R)-5,6-dihydro-8-methyl-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



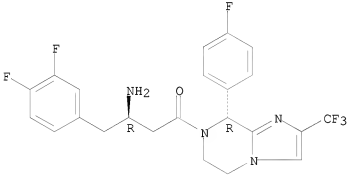
●2 HCl

RN 611240-87-0 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[(8R)-8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 611240-86-9
CMF C23 H20 F6 N4 O

Absolute stereochemistry.

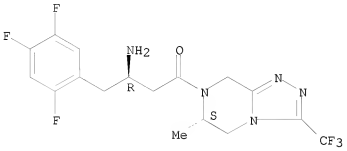


CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 611240-88-1 CAPLUS
CN 1-Butanone, 3-amino-1-[(6S)-5,6-dihydro-6-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

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ACCESSION NUMBER: 2003:42275 CAPLUS
DOCUMENT NUMBER: 138:106717
TITLE: Preparation of β-amino tetrahydroimidazo[1,2-a]pyrazines and tetrahydrotrioazolo[4,3-a]pyrazines as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
INVENTOR(S): Edmondson, Scott D.; Fisher, Michael H.; Kim, Dooseop; MacCoss, Malcolm; Parmee, Emma R.; Weber, Ann E.; Xu, Jinyou
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003004498	A1	20030116	WO 2002-US21349	20020705
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
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CA 2450740	C	20060214		
AU 2002320303	A1	20030121	AU 2002-320303	20020705
AU 2002320303	B2	20041014		
US 20030100563	A1	20030529	US 2002-189603	20020705
US 6699871	B2	20040302		
EP 1412357	A1	20040428	EP 2002-749813	20020705
EP 1412357	B1	20060322		
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CN 1524082	A	20040825	CN 2002-813558	20020705
HU 2004001104	A2	20040928	HU 2004-1104	20020705
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JP 2004536115	T	20041202	JP 2003-510665	20020705
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TW 226331	B	20050111	TW 2002-91114990	20020705
NZ 529833	A	20050128	NZ 2002-529833	20020705
EP 1625847	A1	20060215	EP 2005-77584	20020705
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PT 1412357	T	20060731	PT 2002-749813	20020705
ES 2259713	T3	20061016	ES 2002-749813	20020705
CN 1861077	A	20061115	CN 2006-10077691	20020705

PL 196278	B1	20071231	PL 2002-367279		20020705
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HK 1068882	A1	20070504	HK 2005-101300		20050216
US 20060270679	A1	20061130	US 2006-500252		20060807
PRIORITY APPLN. INFO.:			US 2001-303474P	P	20010706
			CN 2002-813558	A3	20020705
			EP 2002-749813	A3	20020705
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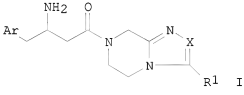
OTHER SOURCE(S): MARPAT 138:106717

GI



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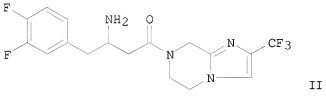

II

AB β-Amino tetrahydroimidazo[1,2-a]pyrazines and tetrahydrotrioazolo[4,3-a]pyrazines [e.g., I; wherein Ar = (substituted) phenyl; X = N, CR2; R1, R2, independently = H, CN, (branched) (substituted) (C1-C10)alkyl, (substituted) Ph, (saturated) 5- or 6-membered heterocycle, etc.] were prepared For example, 7-[(3R)-3-amino-4-(3,4-difluorophenyl)butanoyl]-2-(trifluoromethyl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyrazine (II) was prepared in several steps. The prepared compds. are inhibitors of the dipeptidyl peptidase-IV enzyme ("DP-IV inhibitors") and, thus, are useful in the treatment or prevention of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as type 2 diabetes (no data).

IT 486459-65-8P 486459-66-9P 486459-67-0P
486459-68-1P 486459-69-2P 486459-70-5P
486459-71-6P 486459-72-7P 486459-73-8P
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486459-86-3P 486459-87-4P 486459-88-5P

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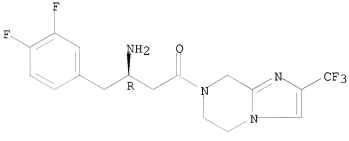
486460-30-4P 486460-31-5P 486460-32-6P
487064-52-8P 487064-54-0P 487064-56-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of β-amino tetrahydroimidazo[1,2-a]pyrazines and tetrahydrotrioazolo[4,3-a]pyrazines as dipeptidyl peptidase inhibitors)

RN 486459-65-8 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

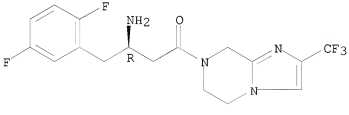
Absolute stereochemistry.



●2 HCl

RN 486459-66-9 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

Absolute stereochemistry.

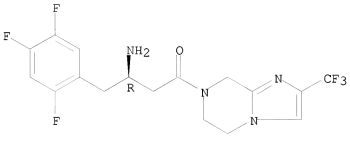


●2 HCl

RN 486459-67-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:2), (3R)-

(CA INDEX NAME)

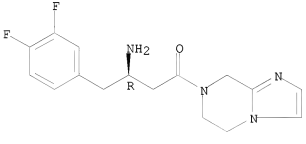
Absolute stereochemistry.



●2 HCl

RN 486459-68-1 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

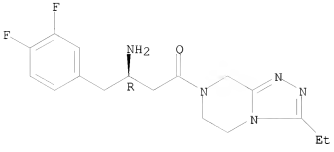
Absolute stereochemistry.



●2 HCl

RN 486459-69-2 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-, hydrochloride (1:2), (3R)- (CA INDEX NAME)

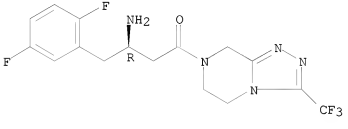
Absolute stereochemistry.



● 2 HCl

RN 486459-70-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

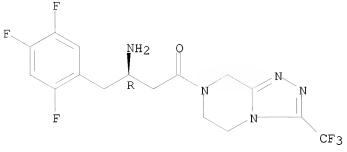
Absolute stereochemistry.



● HCl

RN 486459-71-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, hydrochloride (1:1), (3R)- (CA INDEX NAME)

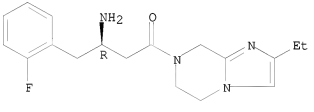
Absolute stereochemistry.



● HCl

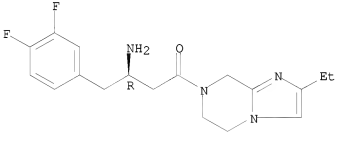
RN 486459-72-7 CAPLUS
CN 1-Butanone, 3-amino-1-(2-ethyl-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



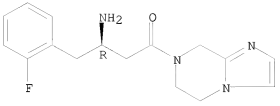
RN 486459-73-8 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(2-ethyl-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



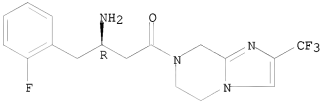
RN 486459-74-9 CAPLUS
CN 1-Butanone, 3-amino-1-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



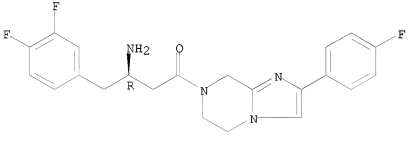
RN 486459-75-0 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



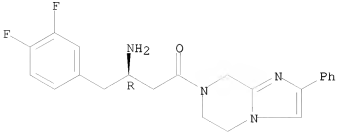
RN 486459-76-1 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[2-(4-fluorophenyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



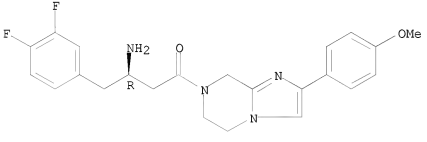
RN 486459-77-2 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(5,6-dihydro-2-phenylimidazo[1,2-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



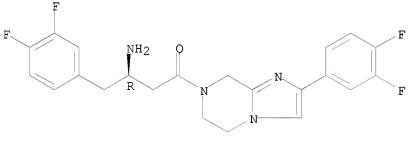
RN 486459-78-3 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-2-(4-methoxyphenyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



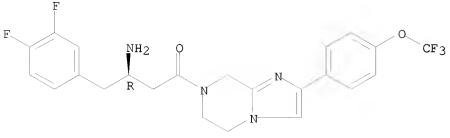
RN 486459-79-4 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[2-(3,4-difluorophenyl)-5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



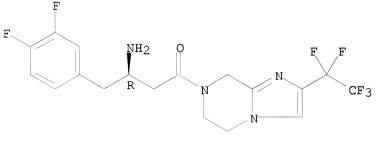
RN 486459-80-7 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-2-[4-(trifluoromethoxy)phenyl]imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



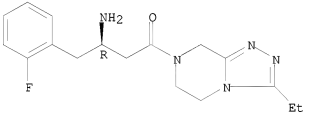
RN 486459-81-8 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-2-(1,1,2,2,2-pentafluoroethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



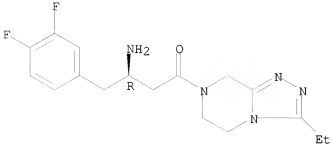
RN 486459-82-9 CAPLUS
CN 1-Butanone, 3-amino-1-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



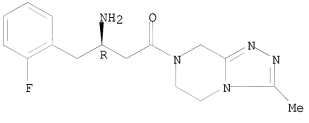
RN 486459-83-0 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



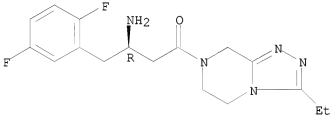
RN 486459-84-1 CAPLUS
CN 1-Butanone, 3-amino-1-(5,6-dihydro-3-methyl-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



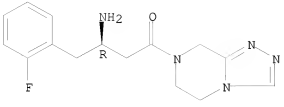
RN 486459-85-2 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



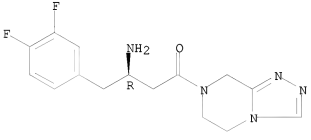
RN 486459-86-3 CAPLUS
CN 1-Butanone, 3-amino-1-(5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



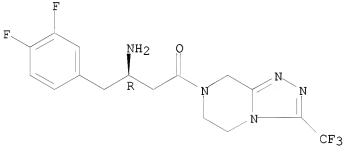
RN 486459-87-4 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



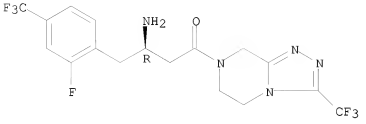
RN 486459-88-5 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



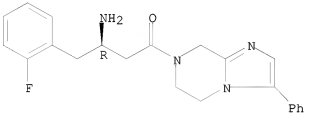
RN 486459-89-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-[2-fluoro-4-(trifluoromethyl)phenyl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



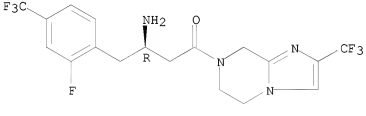
RN 486459-93-2 CAPLUS
CN 1-Butanone, 3-amino-1-(5,6-dihydro-3-phenylimidazo[1,2-a]pyrazin-7(8H)-yl)-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



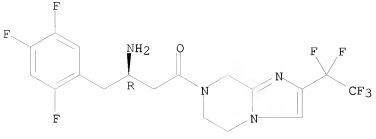
RN 486459-94-3 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-[2-fluoro-4-(trifluoromethyl)phenyl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



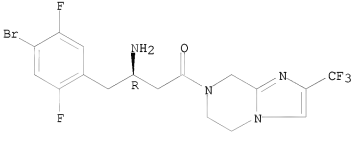
RN 486459-95-4 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-2-(1,1,2,2,2-pentafluoroethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



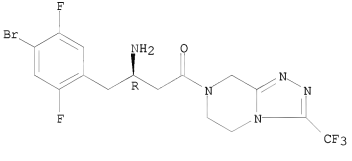
RN 486459-96-5 CAPLUS
CN 1-Butanone, 3-amino-4-(4-bromo-2,5-difluorophenyl)-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



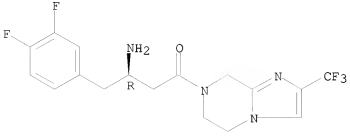
RN 486459-97-6 CAPLUS
CN 1-Butanone, 3-amino-4-(4-bromo-2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



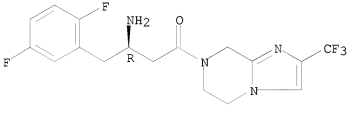
RN 486460-27-9 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



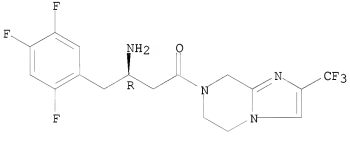
RN 486460-28-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



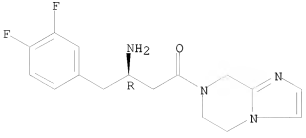
RN 486460-29-1 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



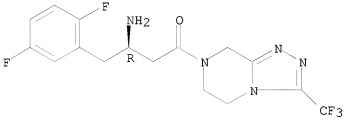
RN 486460-30-4 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-(5,6-dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



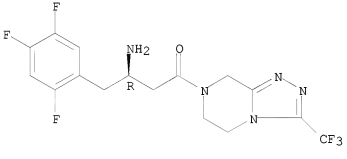
RN 486460-31-5 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



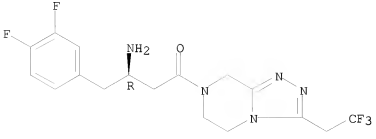
RN 486460-32-6 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



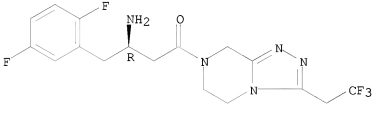
RN 487064-52-8 CAPLUS
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[5,6-dihydro-3-(2,2,2-trifluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



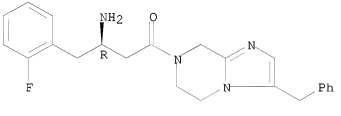
RN 487064-54-0 CAPLUS
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6-dihydro-3-(2,2,2-trifluoroethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



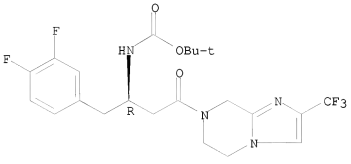
RN 487064-56-2 CAPLUS
CN 1-Butanone, 3-amino-1-[5,6-dihydro-3-(phenylmethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-4-(2-fluorophenyl)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



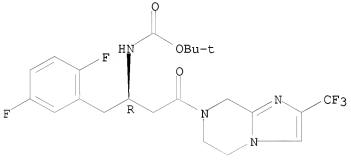
IT 486460-14-4P 486460-15-5P 486460-16-6P
486460-17-7P 486460-19-9P 486460-22-4P
486460-23-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of β-amino tetrahydroimidazo[1,2-a]pyrazines and tetrahydrotrioazolo[4,3-a]pyrazines as dipeptidyl peptidase inhibitors)
RN 486460-14-4 CAPLUS
CN Carbamic acid, [(1R)-1-[(3,4-difluorophenyl)methyl]-3-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



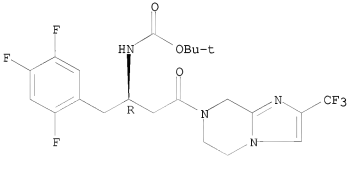
RN 486460-15-5 CAPLUS
CN Carbamic acid, [(1R)-1-[(2,5-difluorophenyl)methyl]-3-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 486460-16-6 CAPLUS
CN Carbamic acid, [(1R)-3-[5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

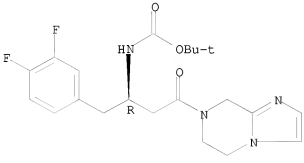
Absolute stereochemistry.



RN 486460-17-7 CAPLUS
CN Carbamic acid, [(1R)-1-[(3,4-difluorophenyl)methyl]-3-(5,6-

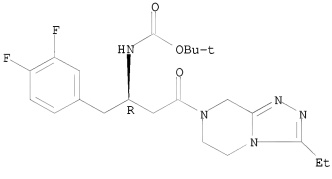
dihydroimidazo[1,2-a]pyrazin-7(8H)-yl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



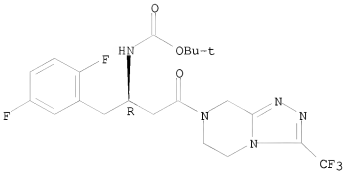
RN 486460-19-9 CAPLUS
CN Carbamic acid, [(1R)-1-[(3,4-difluorophenyl)methyl]-3-(3-ethyl-5,6-dihydro-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl)-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



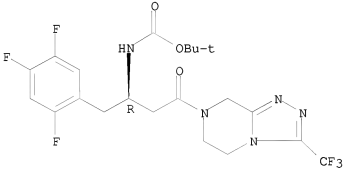
RN 486460-22-4 CAPLUS
CN Carbamic acid, [(1R)-1-[(2,5-difluorophenyl)methyl]-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 486460-23-5 CAPLUS
CN Carbamic acid, [(1R)-3-[5,6-dihydro-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-3-oxo-1-[(2,4,5-trifluorophenyl)methyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

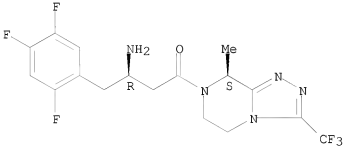
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 62 OF 62 REGISTRY COPYRIGHT 2008 ACS on STN
RN 611239-95-3 REGISTRY
ED Entered STN: 31 Oct 2003
CN 1-Butanone, 3-amino-1-[(8S)-5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-8-methyl-3-(trifluoromethyl)-, (8S)- (9CI)
FS STEREOSEARCH
MF C17 H17 F6 N5 O
CI COM
SR CA

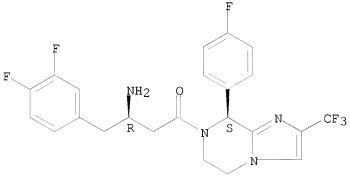
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 61 OF 62 REGISTRY COPYRIGHT 2008 ACS on STN
RN 611239-98-6 REGISTRY
ED Entered STN: 31 Oct 2003
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[(8S)-8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Imidazo[1,2-a]pyrazine, 7-[(3R)-3-amino-4-(3,4-difluorophenyl)-1-oxobutyl]-8-(4-fluorophenyl)-5,6,7,8-tetrahydro-2-(trifluoromethyl)-, (8S)- (9CI)
FS STEREOSEARCH
MF C23 H20 F6 N4 O
CI COM
SR CA

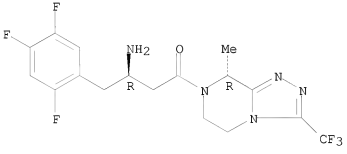
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 60 OF 62 REGISTRY COPYRIGHT 2008 ACS on STN
RN 611240-79-0 REGISTRY
ED Entered STN: 31 Oct 2003
CN 1-Butanone, 3-amino-1-[(8R)-5,6-dihydro-8-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-8-methyl-3-(trifluoromethyl)-, (8R)- (9CI)
FS STEREOSEARCH
MF C17 H17 F6 N5 O
CI COM
SR CA

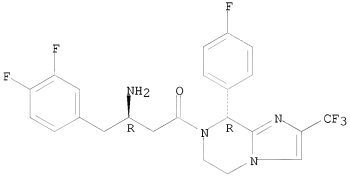
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 59 OF 62 REGISTRY COPYRIGHT 2008 ACS on STN
RN 611240-86-9 REGISTRY
ED Entered STN: 31 Oct 2003
CN 1-Butanone, 3-amino-4-(3,4-difluorophenyl)-1-[(8R)-8-(4-fluorophenyl)-5,6-dihydro-2-(trifluoromethyl)imidazo[1,2-a]pyrazin-7(8H)-yl]-, (3R)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Imidazo[1,2-a]pyrazine, 7-[(3R)-3-amino-4-(3,4-difluorophenyl)-1-oxobutyl]-8-(4-fluorophenyl)-5,6,7,8-tetrahydro-2-(trifluoromethyl)-, (8R)- (9CI)
FS STEREOSEARCH
MF C23 H20 F6 N4 O
CI COM
SR CA

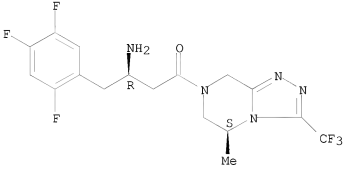
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L8 ANSWER 58 OF 62 REGISTRY COPYRIGHT 2008 ACS on STN
RN 731771-83-8 REGISTRY
ED Entered STN: 23 Aug 2004
CN 1-Butanone, 3-amino-1-[(5S)-5,6-dihydro-5-methyl-3-(trifluoromethyl)-1,2,4-triazolo[4,3-a]pyrazin-7(8H)-yl]-4-(2,4,5-trifluorophenyl)-, (3R)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 1,2,4-Triazolo[4,3-a]pyrazine, 7-[(3R)-3-amino-1-oxo-4-(2,4,5-trifluorophenyl)butyl]-5,6,7,8-tetrahydro-5-methyl-3-(trifluoromethyl)-, (5S)- (9CI)
FS STEREOSEARCH
MF C17 H17 F6 N5 O
CI COM
SR CA

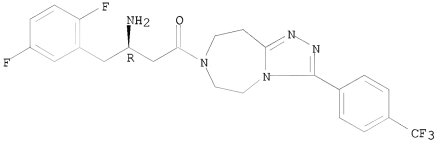
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L7 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2008 ACS on STN
RN 799768-18-6 REGISTRY
ED Entered STN: 20 Dec 2004
CN 1-Butanone, 3-amino-4-(2,5-difluorophenyl)-1-[5,6,8,9-tetrahydro-3-[4-(trifluoromethyl)phenyl]-7H-1,2,4-triazolo[4,3-d][1,4]diazepin-7-yl]-, (3R)- (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN 5H-1,2,4-Triazolo[4,3-d][1,4]diazepine, 7-[(3R)-3-amino-4-(2,5-difluorophenyl)-1-oxobutyl]-6,7,8,9-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI)
FS STEREOSEARCH
MF C23 H22 F5 N5 O
CI COM
SR CA

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT